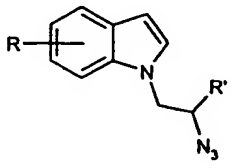
		(1H, d, *J* 3 Hz), 8.5 (1H, d, *J* 8.5 Hz).
3a	R = 6-Me R' = Me	IR ν_{max} (film)/cm^{-1} 3406, 1621, 1510, 1469, 937, 802 and 718; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, *J* 6 Hz), 2.47 (3H, s), 3.99 (1H, m), 4.19 (2H, m), 6.45 (1H, d, *J* 2.5 Hz), 6.95 (1H, d, *J* 8 Hz), 7.04 (1H, d, *J* 2.5 Hz), 7.14 (1H, m) and 7.50 (1H, d, *J* 8 Hz)
4a	R = 5-OBn R' = Me	mp 72 °C. Found: C, 76.81; H, 6.79; N, 5.00%. $C_{18}H_{19}NO_2$ requires : C, 76.84; H, 6.81; N, 4.98 %.
5a	R = 4-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 3412, 1578, 1496, 1453, 1368, 1255, 1056 and 736; NMR δ_H (400 MHz, $CDCl_3$), 1.23 (3H, d, *J* 6.5 Hz), 1.78 (1H, br s), 3.91-3.99 (1H, m), 4.04-4.18 (2H, m), 5.23 (2H, s), 6.59, (1H, d, *J* 8 Hz), 6.70 (1H, d, *J* 4 Hz), 6.99, (1H, d, *J*, 8.5 Hz), 7.05 (1H, d, *J* 3.5 Hz), 7.12, (1H, t, *J* 7.5 Hz), 7.28-7.34 (1H, m), 7.35-7.47 (2H, m) and 7.46-7.52 (2H, m).
6a	R = 6-Cl R' = Et	IR ν_{max} (film)/cm^{-1} 3396, 2967, 1608, 1464, 1319, 901 and 720; NMR δ_H (400 MHz, $CDCl_3$) 1.05 (3H, t, *J* 7.5 Hz), 1.37-1.60 (2H, m), 1.82-1.88 (1H, brs), 3.76-3.85 (1H, m), 3.87-3.97 (1H, m), 4.15 (1H, dd, *J* 14.5, 3.5 Hz), 6.41-6.48 (1H, m), 7.02-7.13 (2H, m), 7.31-7.35 (1H, m) and 7.46-7.53 (1H, m)
7a	R = 6-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 3419, 1622, 1488, 1466, 1454, 1377, 1316, 1262, 1191, 1095, 1025 and 809; (400 MHz, $CDCl_3$), 1.23 (3H, d, *J* 6.5), 3.9-3.98 (1H, m), 4.03-4.19 (2H, m), 5.12 (2H, s), 6.42-6.46 (1H, m), 6.85-6.92 (2H, m), 7.02 (1H, d, *J* 3 Hz), 7.29-7.35 (1H, m), 7.36-7.42 (2H, m) and 7.44-7.52 (3H, m)

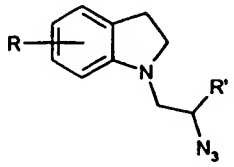
8a	R = 6-CF_3 R' = Me	IR ν_{max} (film)/cm^{-1} 3353, 3285, 1468, 1354, 1311, 1250, 1154, 1092, 1054 and 813; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, J 6.5 Hz), 4.01-4.08 (1H, m), 4.13-4.22 (1H, m), 6.55 (1H, d, *J* 3 Hz), 7.27 (1H, d, *J* 3 Hz), 7.34 (1H, d, *J* 8 Hz), 7.63 (1H, s) and 7.68 (1H, d, *J* 8 Hz)
9a	R = 6-F R' = Me (*R*)	IR ν_{max} (film)/cm^{-1} 3384, 1621, 1488, 949 and 718; NMR δ_H (400 MHz, $CDCl_3$) 1.17 (3H, d, *J* 6 Hz), 3.88 (1H, dd, *J* 7.5 Hz), 4.04-4.06 (1H, m), 4.06-4.09 (1H, m), 6.43 (1H, d, *J* 3 Hz), 6.82-6.87 (1H, m), 7.01 (1H, dd, *J* 9.5, 2.5 Hz), 7.05 (1H, d, *J* 3 Hz) and 7.49 (1H, dd, *J* 8.5, 5 Hz)

Table 4: Indoles prepared using General Method A, step (b)

No		**Data**
1b	R = 6-Cl R' = Me	IR ν_{max} (film)/cm^{-1} 2934, 2117, 1607, 1464, 806, 720 and 603; NMR δ_H (400 MHz, $CDCl_3$) 1.29 (3H, d, *J* 6.5 Hz), 3.90 (1H, m), 4.05 (2H, m), 6.52 (1H, m), 7.10 (2H, m), 7.31 (1H, m) and 7.53 (1H, d, *J* 8 Hz)
2b	R = 6-OMe R' = Me	NMR δ_H (400 MHz, $CDCl_3$) 1.26 (3H, d, *J* 6 Hz), 3.86 (3H, s), 3.96 (1H, dd, *J* 14 and 8 Hz), 4.08 (1H, dd, *J* 14 and 4 Hz), 4.15 (1H, m), 6.43 (1H, d, *J* 3 Hz), 6.82 (2H, m), 7.01 (1H, d, *J* 3 Hz), 8.5 (1H, d, *J* 8.5 Hz)
3b	R = 6-Me R' = Me	IR ν_{max} (film)/cm^{-1} 2117, 1621, 1467, 1259, 803 and 717; NMR δ_H (400 MHz, $CDCl_3$) 1.30 (3H, d, *J* 6.5 Hz), 2.50 (3H, s), 3.96 (1H, m), 4.09 (2H, m), 6.49 (1H, d, *J* 3 Hz), 6.97 (1H. d, *J* 8 Hz), 7.04 (1H, d, *J* 3 Hz), 7.11 (1H, s) and 7.53 (1H, d, *J* 8 Hz).

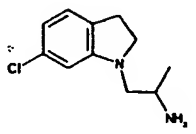
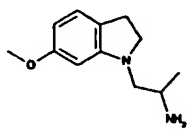
4b	R = 5-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 2975, 2932, 2870, 2118, 1726, 1621, 1576, 1485, 1453, 1382, 1258, 1238, 1154, 1025, 847, 790, 720, 624 and 554; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, J 6.5 Hz) 3.88 (1H, m) 4.05 (2H, m) 5.08 (2H, s) 6.43 (1H, d, J 4 Hz) 6.95 (1H, dd, J 2.5, 8.5 Hz) 7.06 (1H, d, J 3 Hz) 7.16 (1H, d, J 2.5 Hz) 7.22 (1H, m) 7.30 (1H, t, J 7 Hz) 7.37 (2H, t, J 7 Hz) 7.46 (2H, d, J 7 Hz).
5b	R = 4-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 2117, 1579, 1496, 1453, 1369, 1256, 1056 and 736; NMR δ_H (400 MHz, $CDCl_3$), 1.26 (3H, d, *J* 6.5 Hz), 3.91 (1H, m), 4.07 (2H, d, *J* 6 Hz), 5.22 (2H, s), 6.59 (1H, d, *J* 7.5 Hz), 6.7 (1H, d, *J* 4 Hz), 6.95 (1H, d, *J* 8 Hz), 7.01, (1H, d, *J* 3 Hz), 7.12 (1H, t, *J* 7.5 Hz), 7.29-7.34 (1H, m), 7.36-7.42 (2H, m) and 7.47-7.53 (2H, m)
6b	R = 6-Cl R' = Et	IR ν_{max} (film)/cm^{-1} 2970, 2935, 2099, 1464, 901, 806 and 719 ; NMR δ_H (400 MHz, $CDCl_3$) 1.10 (3H, t, *J* 7.5 Hz), 1.42-1.71 (2H, m), 3.56-3.68 (1H, m), 3.90-4.0 (1H, m), 4.07-4.16 (1H, m), 6.45-6.54 (1H, m), 7.03-7.12 (2H, m), 7.28-7.31 (1H, m) and 7.48-7.56 (1H, m)
7b	R = 6-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 2117, 1622, 1488, 1264, 1194, 1025 and 809; (400 MHz, $CDCl_3$), 1.24 (3H, d, *J* 6.5 Hz), 3.85 (1H, m), 4.01 (2H, d, *J* 6.5 Hz), 5.13 (2H, s), 6.44-6.47 (1H, m), 6.82-6.91 (2H, m), 6.99 (1H, d, 3 Hz), 7.29-7.35 (1H, m), 7.36-7.42 (2H, m) and 7.45-7.53 (3H, m)
8b	R = 6-CF_3 R' = Me	IR ν_{max} (film)/cm^{-1} 2117, 1617, 1455, 1318 and 1118; NMR δ_H (400 MHz, $CDCl_3$)1.31 (3H, d, *J* 7.5 Hz), 3.01-3.07 (2H, m), 3.10-3.15 (2H, m), 3.49-3.59 (2H, m), 3.74-3.78 (1H, m), 6.58 (1H, s), 6.90-6.92 (2H, m) and 7.10-7.12 (1H, m)
9b	R = 6-F R' = Me, (*S*)	IR ν_{max} (film)/cm^{-1} 2119, 1621, 1468, 1255, 948 and 717; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, *J* 6 Hz), 3.87-4.03 (3H, m), 6.48 (1H, d, *J* 3 Hz), 6.82-6.86 (1H, m), 6.98 (1H, dd, *J* 9.5, 2.5 Hz), 7.05 (1H, d, *J* 3 Hz) and 7.51 (1H, dd, *J* 8.5, 5.5 Hz)

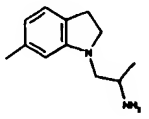
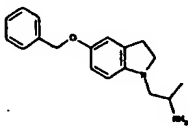
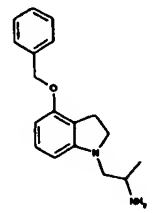
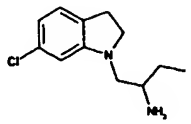
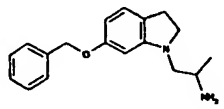
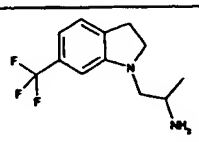
Table 5: Indolines prepared using General Method A, step (c)

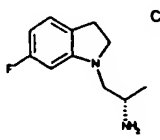
No		Data
1c	R = 6-Cl R' = Me	IR ν_{max} (film)/cm^{-1} 2642, 2115, 1606, 1493, 1271, 1010, 879 and 589; NMR δ_H (400 MHz, $CDCl_3$) 1.30 (3H, d, *J* 6.5 Hz), 2.96 (2H, m), 3.07 (2H, m), 3.52 (2H, m), 3.76 (1H, m), 6.39 (1H, d, *J* 2 Hz), 6.60 (1H, dd, *J* 7.5 and 2 Hz) and 6.94 (1H, d, *J* 7.5 Hz).
2c	R = 6-OMe R' = Me	IR ν_{max} (film)/cm^{-1} 2115, 1621, 1498, 1211, 820 and 631; NMR δ_H (400 MHz, $CDCl_3$) 1.29 (3H, d, *J* 6.5 Hz), 2.93 (2H, m), 3.16 (2H, m), 3.46 (2H, m), 3.76 (1H, m), 6.04 (1H, d, *J* 2 Hz), 6.18 (1H, dd, *J* 8 and 2 Hz) and 6.95 (1H, d, *J* 8 Hz)
3c	R = 6-Me R' = Me	IR ν_{max} (film)/cm^{-1} 2115, 1614, 1647, 1020 and 796; NMR δH (400 MHz, $CDCl_3$) 1.32 (3H, d, *J* 6.5 Hz), 2.29 (3H, s), 2.98 (2H, m), 3.06 (1H, m), 3.16 (1H, m), 3.50 (2H, m), 3.78 (1H, m), 6.29 (1H, m), 6.50 (1H, d, *J* 7 Hz) and 6.97 (1H, d, *J* 7 Hz).
5c	R = 4-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 2114, 1615, 1464, 1228, 1062 and 754; NMR δ_H (400 MHz, $CDCl_3$), 1.29, (3H, d, *J* 6.5 Hz), 2.98-3.09 (3H, m), 3.11-3.18 (1H, m), 3.42-3.56 (2H, m), 3.75 (1H, m), 5.08 (2H, s), 6.16 (1H, d, *J* 8 Hz), 6.33 (1H, d, *J* 8 Hz), 6.97-7.06 (1H, m), 7.28-7.33 (1H, m) and 7.34-7.44 (4H, m)
6c	R = 6-Cl R' = Et	IR ν_{max} (film)/cm^{-1} 2968, 2934, 2097, 1606, 1493, 1271 and 883; NMR δ_H (400 MHz, $CDCl_3$) 1.07 (3H, t, *J* 7.5 Hz), 1.48-1.66 (2H, m), 2.93-2.97 (2H, m), 3.07-3.14 (2H, m), 3.46-3.56 (3H, m), 6.36-6.40 (1H, m), 6.55-6.63 (1H, m) and 6.89-6.99 (1H, m)

7c	R = 6-OBn R' = Me	IR ν_{max} (film)/cm^{-1} 2115, 1620, 1498, 1285, 1191, 1091, 1025 and 735; (400 MHz, $CDCl_3$), 1.27 (3H, d, *J* 6.5 Hz), 2.94 (2H, t, *J* 8 Hz), 3.06 (2H, m), 3.48 (2H, m), 3.74 (1H, m), 5.02 (2H, s), 6.12 (1H, d, *J* 2 Hz), 6.23-6.28 (1H, m), 6.91-6.97 (1H, d, 8 Hz) and 7.27-7.46 (5H, m)
8c	R = 6-CF_3 R' = Me	IR ν_{max} (film)/cm^{-1} 3373, 2976, 2935, 2847, 2117, 1617, 1318 and 663; NMR δ_H (400 MHz, $CDCl_3$) 1.18 (3H, d, *J* 7 Hz) 2.93-3.20 (4H, m) 3.45-3.55 (2H, m) 3.71-3.75 (1H, m) 6.34-6.44 (1H, m) 6.80-6.85 (1H, m) and 7.10-7.20 (1H, m)
9c	R = 6-F R' = Me, (*S*)	IR ν_{max} (film)/cm^{-1} 2116, 1619, 1496, 1275, 822 and 612; NMR δ_H (400 MHz, $CDCl_3$) 1.28 (3H, d, *J* 6.5 Hz) 2.93-2.95 (2H, m), 3.04-3.06 (2H, m), 3.51-3.53 (2H, m), 3.72-3.74 (1H, m), 6.13 (1H, dd, *J* 10, 2.5 Hz), 6.29-6.31 (1H, m) and 6.93-6.95 (1H, m)

Table 6: **Examples 1-9**. Indolines prepared using General Method A, step (d)

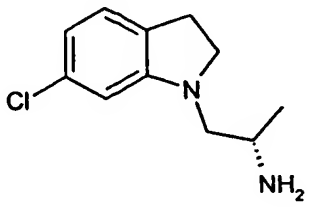
No	Structure	Data
1		HCl. mp 262 °C; IR ν_{max} (Nujol)/cm^{-1} 2924, 1589, 1489, 1462, 882 and 840; NMR δ_H (400 Mz, DMSO-d_6) 1.28 (3H, d, *J* 6.5 Hz), 2.54 (2H, m), 2.94 (2H, m), 3.08 (1H, m), 3.34 (2H, m), 3.46 (1H, m), 3.58 (1H, m), 6.64 (2H, m), 7.64 (1H, d, *J* 7.5 Hz) and 8.0 (3H, br).
2		HCl. mp 142-143 °C; IR ν_{max} (Nujol)/cm^{-1} 2924, 1619, 1496, 1464, 1098, 831 and 788; NMR δ_H (400 MHz, DMSO-d_6) 1.25 (3H, d, *J* 6.5 Hz), 2.50 (3H, s), 3.02 (1H, m), 3.08 (2H, m), 3.26 (2H, m), 3.40 (1H, m), 3.49 (2H, m), 6.24 (1H, m), 6.24 (1H, m), 6.92 (1H, d, *J* 8 Hz) and 8.05 (3H, br).

3		HCl. mp 178-179 °C, IR ν_{max} (Nujol)/cm^{-1} 3345, 2925, 1613, 1494, 1460, 1270, 1186 and 796; NMR δ_H (400 MHz, DMSO-d_6) 1.25 (3H, d, *J* 6.5 Hz), 2.19 (3H, s), 2.87 (2H, m), 2.99 (1H, dd, *J* 14 and 5 Hz), 3.22 (2H, m), 3.43 (2H, m), 6.43 (2H, m), 6.92 (1H, d, *J* 8 Hz) and 8.05 (3H, br).
4		Fumarate mp 143-4 °C; Found: C, 65.69; H, 6.53; N, 6.95% $C_{18}H_{22}N_2O.C_4H_4O_4.0.25\ H_2O$ requires C, 65.57; H, 6.63; N, 6.95%.
5		HCl. mp 188-190 °C; Found: C, 67.79; H, 7.35; N, 8.70%. $C_{18}H_{22}N_2O.HCl$ requires: C, 67.81; H, 7.27; N, 8.78%; IR ν_{max} (Nujol)/cm^{-1} 1614, 1460, 1377, 1257, 1237, 1064 and 758; NMR δ_H (400 MHz, DMSO-d_6), 1.26 (3H, d, *J* 6.5 Hz), 2.79-2.96 (2H, m), 2.97-3.05 (1H, m), 3.23-3.34 (2H, m), 3.35-3.43 (1H, m), 3.45-3.54 (2H, m), 5.09 (2H, m), 6.29 (1H, d, *J* 7.5 Hz), 6.39 (1H, d, *J* 7.5 Hz), 7.28-7.34 (1H, m), 7.39-7.44 (4H, m) and 8.22 (3H, br s).
6		HCl. mp 188-192 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.75 (3H, t, *J* 7.5 Hz), 1.27-1.44 (2H, m), 2.57-2.68 (1H, m), 2.77-2.89 (1H, m), 2.88-3.12 (4H, m), 3.23-3.34 (1H, m), 6.30-6.38 (2H, m) and 6.71-6.80 (1H, m).
7		mp 178-179 °C;. Found: C, 70.21; H, 7.12; N, 8.00%. $C_{18}H_{22}N_2O.0.5\ C_4H_4O_4$ requires: C, 75.56; H, 7.11; N, 8.23%; IR ν_{max} (Nujol)/cm^{-1} 1621, 1545, 1456, 1349, 1181, 1024, 732 and 667; ; (400 MHz, d_6DMSO), 1.15 (3H, d, *J* 6.5 Hz), 2.78-2.87 (2H, m), 2.89-2.99 (1H, m), 3.09-3.17 (1H, m), 3.22-3.32 (2H, m), 3.4-3.48 (1H, m), 5.01 (2H, s), 6.2 (1H, d, *J* 6.5), 6.24 (1H, m), 6.39 (1H, s), 6.89 (1H, d, *J* 8 Hz) and 7.27-7.45 (5H, m)
8		Fumarate. mp 154-8 °C; IR ν_{max} (Nujol)/cm^{-1} 1618, 1457, 1378, 1318, 1162, 1118 and 1060.

9		Fumarate. mp 150-153 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.20 (3H, d, *J* 6.0 Hz), 2.86-2.88 (1H, m), 3.01 (1H, dd, *J* 14, 5.5 Hz), 3.23-3.25 (1H, m), 3.34-3.36 (2H, m), 3.52-3.56 (1H, m), 6.30-6.32 (1H, m), 6.40-6.45 (1H, m) and 6.97-6.99 (1H, m).

General Method B:

Example 10: (*S*)-1-(6-Chloroindolin-1-yl)-2-propylamine fumarate



Step (a): (*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-chloroindole (10a)

6-Chloroindole (1.5 g, 10 mmol) was added portionwise to a stirred suspension of powdered potassium hydroxide (2.24 g, 40 mmol) in methyl sulfoxide (25 mL). The mixture was warmed to 35 °C and stirred for 30 min. A solution of (*S*)-2-(tert-butoxycarbonylamino)propane methanesulfonate (6.3 g, 25 mmol) in methyl sulfoxide (10 mL) was added over 2 h. The mixture was stirred for 20 h and partitioned between water (50 mL) and ether (3 x 30 mL). The combined organic extracts were washed with brine (2 x), dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (6:1)] to give the product (0.75 g, 24% yield) as a pink solid. Data for (10a) are included in Table 7 with the data for other compounds produced using General Method B, step (a).

Step (b): (*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-chloroindoline (10b)

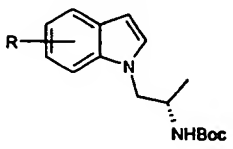
To a stirred solution of (S)-1-[2-(tert-butoxycarbonylamino)propyl]-6-chloroindole (0.7 g, 2.3 mmol) in acetic acid (15 mL) was added portionwise sodium cyanoborohydride (0.43 g, 6.9 mmol). The mixture was stirred for 16 h and partitioned between ether (40 mL) and saturated aqueous sodium bicarbonate solution (3 x 50 mL). The organic layer was washed with brine (2 x), dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (6:1)] to give the product (0.57 g, 80%) as a white solid. Data for (10b) are included in Table 8 with the data for other compounds produced using General Method B, step (b).

Step (c): (*S*)-1-(6-Chloroindolin-1-yl)-2-propylamine fumarate (10)

To a stirred solution of (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-chloroindoline (0.5 g, 1.6 mmol) in dichloromethane (5 mL) was added dropwise trifluoroacetic acid (5 mL). The mixture was stirred for 1 h and partitioned between aqueous sodium hydroxide solution (2 M, 50 mL) and dichloromethane (3 x 30 mL). The combined organic extracts were washed with brine (2 x), dried (magnesium sulfate) and concentrated *in vacuo* to give a pale yellow oil. The oil was dissolved in 2-propanol (5 mL) and the solution was heated to boiling then fumaric acid (0.18 g, 1.6 mmol) was added. The mixture was cooled to room temperature and filtered. The filter-cake was dried *in vacuo* to give the product (0.39 g, 75%) as a white solid. Data for (10) is included in Table 9 with the data for other compounds produced using General Method B, step (c).

The compounds shown in Tables 7, 8 and 9 were prepared using General Method B from either commercially available indoles or from indoles synthesised according to the methods described after Table 9 using (*RS*)-2-(tert-butoxycarbonylamino)propane methanesulfonate, (*S*)-2-(tert-butoxycarbonylamino)propane methanesulfonate or (*R*)-2-(tert-butoxycarbonylamino)propane methanesulfonate as appropriate.

Table 7: Indoles prepared using General Method B, step (a)

No		Data
10a	6-Cl (*S*)	mp 144-146 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.14 (3H, d, *J* 6.5 Hz), 1.45 (9H, s), 4.02-4.49 (4H, m), 6.51 (1H, d, *J* 3 Hz), 7.06-7.12 (2H, m), 7.42 (1H, brs) and 7.54 (1H, d, *J* 9 Hz).
11a	7-OBn (*RS*)	IR ν_{max} (Nujol)/cm^{-1} 3366, 1684, 1526, 1455, 1373, 1319, 1175, 1058 and 717; NMR δ_H (400 MHz, $CDCl_3$) 0.871 (3H, br s), 0.93-1.36 (9H, m), 3.84-4.01 (1H, m), 4.21-4.44 (2H, m), 4.69-4.84 (1H, m), 5.18 (2H, br s), 6.43 (1H, br s), 6.72 (1H, d, *J* 7.5 Hz), 7.22 (1H, d, *J* 7.5 Hz) and 7.34-7.53 (5H, m).
12a	6-Br (*S*)	IR ν_{max} (neat)/cm^{-1} 2941, 1739, 1574, 1498, 1270, 1033 and 828; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 7 Hz), 1.43 (9H, s), 3.68-3.74 (1H, m), 4.0-4.18 (2H, m), 4.42 (1H, brs), 6.48 (1H, d, *J* 3 Hz), 7.04 (d, *J* 3 hz), 7.19 (1H, dd, *J* 8.5, 1 Hz), 7.46 (d, *J* 8.5 Hz) and 7.54 (1H, brs).
13a	6-OMe (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1458, 1363, 1220, 1051, 812 and 625; NMR δ_H (400 MHz, $CDCl_3$) 1.17 (3H, d, *J* 7 Hz), 1.50 (9H, s), 3.93 (3H, s), 3.98-4.10 (3H, m), 4.52 (1H, brs), 6.41-6.45 (1H, m), 6.74-6.83 (1H, m), 6.94-6.99 (1H, m), and 7.46-7.53 (1H, m).
14a	5-Me, 6-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1681, 1531, 1463, 1165, 1061, 974 and 645; NMR δ_H (400 MHz, $CDCl_3$) 1.01 (3H, d, *J* 6 Hz), 1.44 (9H, s), 2.43 (3H, s), 4.01-4.16 (3H, m), 4.38 (1H, brs), 6.41 (1H, d, *J* 3 Hz), 7.01 (1H, d, *J* 3 Hz), 7.40 (1H, s) and 7.44 (1H, s).
15a	5-F, 6-Cl (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1680, 1532, 1461, 1168, 815 and 715; NMR δ_H (400 MHz, $CDCl_3$) 1.1 (3H, d, *J* 8 Hz), 1.42 (9H, s), 4.01-4.13 (3H, m), 4.36 (1H, brs), 6.43 (d, *J* 3 Hz), 7.07

		(1H, d, *J* 3 Hz), 7.30 (1H, d, *J* 6 Hz) and 7.40 (1H, d, *J* 6 Hz).
16a	5-F, 6-Cl (*S*)	IR ν_{max} (film)/cm^{-1} 1680, 1531, 1370, 1064 and 815; NMR δ_H (400 MHz, $CDCl_3$) 1.1 (3H, d, *J* 8 Hz), 1.42 (9H, s), 4.01-4.13 (3H, m), 4.36 (1H, brs), 6.43 (d, *J* 3 Hz), 7.07 (1H, d, *J* 3 Hz), 7.30 (1H, d, *J* 6 Hz) and 7.40 (1H, d, *J* 6 Hz).
17a	5-F, 7-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1528, 1459, 1060 and 717; NMR δ_H (400 MHz, $CDCl_3$) 1.15 (3H, d, *J* 6 Hz), 1.28 (9H, s), 3.97-4.07 (1H, m), 4.35-4.56 (3H, m), 6.44 (1H, d, *J* 3 Hz), 6.94 (1H, dd, *J* 9, 2.5 Hz), 7.07 (1H, brs) and 7.14 (1H, dd, *J* 9, 2.5 Hz).
18a	6-Br (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1533, 1462, 1173, 1062, 799 and 718; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 7 Hz), 1.43 (9H, s), 4.0-4.15 (3H, m), 4.39 (1H, brs), 6.48 (1H, d, *J* 3 Hz), 7.04 (1H, d, *J* 3 Hz), 7.20 (1H, dd, *J* 8.5, 2 Hz), 7.46 (1H, d, *J* 8.5 Hz) and 7.54 (1H, brs).
19a	7-Br (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1682, 1528, 1453, 1316, 1173, 777 and 713; NMR δ_H (400 MHz, $CDCl_3$) 1.22 (3H, d, *J* 7 Hz), 1.29 (9H, s), 4.40-4.48 (1H, m), 4.50-4.69 (3H, m), 6.52 (1H, d, *J* 3 Hz), 6.95 (1H, t, *J* 8 Hz), 7.11 (1H, brs), 7.36-7.39 (1H, m) and 7.56-7.58 (1H, m).
20a	7-Br (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1528, 1453, 1316, 1173, 1059, 777 and 713; NMR δ_H (400 MHz, $CDCl_3$) 1.17 (3H, d, *J* 7 Hz), 1.28 (9H, s), 3.94-4.71 (4H, m), 6.47 (1H, d, *J* 3 Hz), 6.89 (1H, t, *J* 7 Hz), 7.06 (1H, brs), 7.32 (1H, dd *J* 7.5, 1 Hz) and 7.52 (1H, dd, *J* 8, 1 Hz).
21a	6,7-dichloro (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1526, 1458, 1317, 1061, 800 and 720; NMR δ_H (400 MHz, $CDCl_3$) 1.24 (3H, d, *J* 6.5 Hz), 1.34 (9H, s), 4.43-4.51 (1H, m), 4.58-4.65 (4H, m), 6.50 (1H, d, *J* 3 Hz), 7.09 (1H, brs), 7.19 (1H, d, *J* 8.5 Hz)

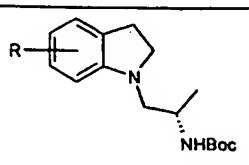
		and 7.44 (1H, d, *J* 8.5 Hz).
23a	6,7-dichloro (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1685, 1526, 1317, 1179, 1061, 800 and 719; NMR δ_H (400 MHz, $CDCl_3$) 1.16 (3H, d, *J* 7 Hz), 1.89 (9H, s), 3.92-4.11 (2H, m), 4.35-4.56 (1H, m), 4.58 (1H, brs), 6.45 (1H, d, *J* 3 hz), 7.04 (1H, brs), 7.14 (1H, d, *J* 8.5 Hz) and 7.38 (1H, d, *J* 8.5 Hz).
24a	6-CF_3 (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1533, 1348, 1109, 812 and 622; NMR δ_H (400 MHz, $CDCl_3$) 1.09 (3H, d, *J* 6.5 Hz), 1.33 (9H, s), 3.99-4.19 (3H, m), 4.39 (1H, brs), 6.52 (1H, d, *J* 3 Hz), 7.17 (1H, d, *J* 3Hz), 7.28 (1H, d, *J* 9 Hz) and 7.61-7.64 (1H, m).
25a	5-F, 6-Br (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1533, 1479, 1165, 1064, 812 and 663; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 6.5 Hz), 1.42 (9H, s), 3.99-4.08 (1H, m), 4.10-4.18 (2H, m), 4.39 (1H, brs), 6.45 (1H, d, *J* 3 Hz), 7.1 (1H, d, *J* 3 Hz), 7.36 (1H, d, *J* 9 Hz) and 7.56 (1H, d, *J* 5.5 Hz).
26a	6-CF_3 (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1533, 1461, 1308, 1109, 812 and 662; NMR δ_H (400 MHz, $CDCl_3$) 1.14 (3H, d, *J* 7.5 Hz), 1.39 (9H, s), 4.06-4.12 (3H, m), 4.39 (1H, brs), 6.58 (1H, d, *J* 3 Hz), 7.23 (1H, d, *J* 3 Hz), 7.34 (1H, d, *J* 8 Hz) and 7.70 (1H, d, *J* 8 Hz).
27a	6-Cl, 7-F (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1687, 1615, 1197, 1080 and 543; NMR δ_H (400 MHz, $CDCl_3$) 1.15 (3H, d, *J* 6.5 hz), 1.31 (9H, s), 3.99-4.08 (1H, m), 4.28-4.43 (3H, m), 6.46-6.48 (1H, m), 7.01 (1H, dd, J 6.5 Hz), 7.04 (1H, brs) and 7.24-7.26 (1H, m).
28a	5-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1516, 1174, 1076 and 716; NMR δ_H (400 MHz, $CDCl_3$) 1.15 (3H, d, *J* 6.5 Hz), 1.48 (9H, s), 4.04-4.17 (1H, m), 4.26-4.35 (2H, m), 4.43 (1H, brs), 6.49 (1H, d, *J* 3 Hz), 7.13 (1H, d, *J* 3 Hz), 7.21 (1H,

		dd, *J* 8, 2 Hz), 7.41 (1H, d, *J* 8 Hz) and 7.63 (1H, d, *J* 2 Hz).
29a	5-F (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1515, 1488, 1364, 1172, 1075 and 718; NMR δ_H (400 MHz, $CDCl_3$) 1.16 (3H, d, *J* 7 Hz), 1.49 (9H, s), 4.06-4.16 (2H, m), 4.27-4.36 (1H, m), 4.45 (1H, brs), 6.51 (1H, d, *J* 3Hz), 7.0 (1H, td, *J* 8.5, 2.5 Hz), 7.15 (1H, d, *J* 3 Hz), 7.28-7.32 (2H, m) and 7.41 (1H, brs).
30a	5-F, 6-MeS (*S*)	mp 119-124 °C; IR ν_{max} (Nujol)/cm^{-1} 3361, 2924, 2854, 1678, 1531, 1475, 1164 and 1064; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 6.0 Hz), 1.43 (9H, s), 2.52 (3H, s), 3.98-4.10 (2H, m), 4.18-4.33 (1H, m), 4.34-4.48 (1H, m), 6.42-6.44 (1H, m), 7.07 (1H, d, *J* 3.0 Hz), 7.24-7.29 (1H, d, *J* 10.0 Hz), 7.43-7.50 (1H, m).
31a	5-F, 6-EtS (*S*)	mp 133 °C; IR ν_{max} (Nujol)/cm^{-1} 3368, 2925, 2854, 1682, 1529, 1474, 1251, 1163 and 1061; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 6.5 Hz), 1.27 (3H, t, *J* 7.5), 1.42 (9H, s), 2.94 (2H, q, *J* 7.5 Hz)), 3.98-4.13 (2H, m), 4.15-4.29 (1H, m), 4.32-4.46 (1H, m), 6.43-6.44 (1H, m), 7.09 (1H, d, *J* 3.0 Hz), 7.24-7.30 (1H, m), 7.47-7.53 (1H, m).
32a	4-Me (*S*)	mp 65-66 °C; IR ν_{max} (Nujol)/cm^{-1} ; NMR δ_H (400 MHz, $CDCl_3$) 1.09 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 2.54 (3H, s), 4.07 (2H, m), 4.26 (1H, m), 6.51 (1H, d, *J* 3 Hz), 6.90 (1H, dd, *J* 1, 7 Hz), 7.05 (1H, d, J 3 Hz), 7.11 (1H, dd, *J* 7, 8 Hz), 7.27 (1H, d, *J* 8 Hz).
33a	5-Br (*S*)	mp 115-116 °C; Found: C, 54.43; H, 5.94; N, 7.85%. $C_{16}H_{21}N_2BrO_2$ requires C, 54.40; H, 5.99; N, 7.93%; NMR δ_H (400 MHz, $CDCl_3$) 1.08 (3H, d, *J* 6.5 Hz), 1.42 (9H, s), 4.03 (2H, m), 4.23 (1H, m), 6.42 (1H, d, *J* 3 Hz), 7.04 (1H, d, *J* 3 Hz), 7.26 (1H, d, *J* 1.5 Hz), 7.29 (1H, m), 7.71 (1H, t, *J* 1.5 Hz).

34a	5,6-di-OMe (*S*)	IR ν_{max} (Nujol)/cm^{-1} ; 3358, 2925, 2854, 1680, 1514, 1488, 1457, 1365, 1293, 1238, 1170, 1147, 1078, 1028 and 840; NMR δ_H (400 MHz, $CDCl_3$) 1.12 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 3.91 (3H, s), 3.96 (3H, s), 3.98 (1H, m), 4.06 (1H, m), 4.25 (1H, m), 6.38 (1H, d, *J* 3 Hz), 6.93 (1H, d, *J* 3 Hz), 7.04 (1H, brs.), 7.06 (1H, s).
35a	4-F (*S*)	mp 100-101 °C; Found: C, 65.23; H, 7.05; N, 9.47%. $C_{16}H_{22}N_2FO_2$ requires C, 65.73; N, 7.24; N, 9.58 %; NMR δ_H (400 MHz, $CDCl_3$) 1.11 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 4.07 (2H, m), 4.26 (1H, m), 6.58 (1H, d, *J* 3 Hz), 6.76 (1H, dd, *J* 7.5, 10 Hz), 7.03 (1H, d, *J* 3 Hz), 7.11 (1H, dt, *J* 5, 7.5 Hz), 7.20 (1H, t, *J* 8 Hz).
36a	7-OMe (*S*)	mp 87-88 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.11 (3H, d, *J* 6.5 Hz), 1.30 (9H, s), 3.95 (3H, s), 4.03 (1H, sept, *J* 7 Hz), 4.36 (1H, m), 4.50 (1H, m), 6.44 (1H, d, *J* 3 Hz), 6.63 (1H, d, *J* 7.5 Hz), 6.97 (1H, m), 6.98 (1H, t, *J* 7.5 Hz), 7.20 (1H, dd, *J* 1, 8 Hz).
37a	7-Et (*S*)	mp 115-116 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.09 (3H, d, *J* 3 Hz), 1.33 (3H, t, *J* 7.5 Hz), 1.39 (9H, s), 3.06 (2H, m), 3.98 (1H, sept, *J* 7 Hz), 4.18 (1H, dd, *J* 7, 14 Hz), 4.36 (1H, m), 6.49 (1H, d, *J* 3 Hz), 6.99 (1H, dd, *J* 1, 7.5 Hz), 7.01 (1H, d, *J* 7 Hz), 7.04 (1H, d, *J* 7 Hz), 7.46 (1H, dd, *J* 1, 7.5 Hz).
38a	4-Cl (*S*)	mp 90-91 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.11 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 4.08 (2H, m), 4.26 (1H, m), 6.61 (1H, dd, *J* 1, 3 Hz), 7.09 (1H, d, *J* 4 Hz), 7.10 (1H, m), 7.11 (1H, d, *J* 4 Hz), 7.35 (1H, m).
39a	6-SMe (*S*)	NMR δ_H (400 MHz, $CDCl_3$) 1.10 (3H, d, *J* 6.6 Hz), 1.41 (9H, br s), 2.53 (3H, s), 4.04-4.49 (4H, br m), 6.44 (1H, d, *J* 3.0 Hz), 7.00 (1H, d, *J* 2.9 Hz), 7.09 (1H, d, *J* 8.3 Hz), 7.41 (1H, s), 7.51 (1H, d, *J* 8.3 Hz); IR (Nujol)ν_{max}/cm^{-1} 3362, 2924, 1681, 1533, 1174, 1061 and 803; Found C, 63.26, H,

		7.58, N, 8.59%. $C_{17}H_{24}N_2O_2S$ requires C, 63.72, H, 7.55, N, 8.74%.
40a	6-SEt (*S*)	mp 112-113 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.10 (3H, d, *J* 6.7 Hz), 1.27 (3H, t, *J* 7.3 Hz), 1.41 (9H, br s), 2.93 (2H, q, *J* 7.2 Hz), 4.02-4.49 (4H, m), 6.45 (1H, d, *J* 3.0 Hz), 7.03 (1H, d, *J* 3.0 Hz), 7.14 (1H, d, *J* 7.0 Hz), 7.47 (1H, s) and 7.51 (1H, d, *J* 8.4 Hz); IR (film)ν_{max}/cm^{-1} 3370, 2924, 1684, 1524, 1466, 1162, 1057 and 790; Found C, 64.49, H, 8.00, N, 8.15%. $C_{18}H_{26}N_2O_2S$ requires C, 64.64, H, 7.83, N, 8.37%.
41a	6-SPr (*S*)	mp 74-75 °C; NMR δ_H (400 MHz, $CDCl_3$) 0.99 (3H, t, *J* 7.1 Hz), 1.10 (3H, d, *J* 6.9 Hz), 1.41 (9H, br s), 1.59-1.68 (2H, m), 2.89 (2H, t, *J* 6.8 Hz), 4.02-4.40 (4H, br m), 6.44 (1H, d, *J* 3.0 Hz), 7.02 (1H, d, *J* 3.0 Hz), 7.13 (1H, d, *J* 8.0 Hz), 7.47 (1H, s) and 7.50 (1H, d, *J* 8.7 Hz); IR (Nujol)ν_{max}/cm^{-1} 3357, 2927, 1686, 1534, 1460, 1377, 1175, 1062 and 810.
42a	6-S^{i}Pr (*S*)	mp 74-75 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.11 (3H, d, *J* 6.6 Hz), 1.27 (6H, d, *J* 6.9 Hz), 1.42 (9H, br s), 3.30-3.34 (1H, m), 4.04-4.50 (4H, br m), 6.48 (1H, d, *J* 3.5 Hz), 7.07 (1H, d, *J* 3.1 Hz), 7.19 (1H, d, *J* 9.6 Hz) and 7.53 (2H, m); IR (Nujol)ν_{max}/cm^{-1} 3374, 2926, 1690, 1515, 1463, 1174, 1080 and 813.

Table 8: Indolines prepared using General Method B, step (b)

No		**Data**
10b	6-Cl (*S*)	NMR δ_H (400 MHz, $CDCl_3$) 1.24 (3H, d, *J* 8 Hz), 1.46 (9H, s), 2.97 (1H, t, *J* 8 Hz), 3.04-3.10 (1H, m), 3.45-3.56 (1H, m), 3.88-3.98 (1H, m), 4.52 (1H, brs), 6.42 (1H, brs), 6.58-

		6.62 (1H, m) and 6.95-7.01 (1H, m).
11b	7-OBn (*RS*)	IR ν_{max} (Nujol)/cm^{-1} 3368, 1683, 1536, 1461, 1369, 1249, 1170, 1059 and 743; NMR δ_H (400 MHz, $CDCl_3$), 0.96 (3H, d, *J* 6.5 Hz), 1.36 (9H, br s), 2.89-3.13 (3H, m), 3.21-3.35 (1H, m), 3.45-3.87 (3H, m), 5.04 (2H, s), 6.63 (1H, t, *J* 8 Hz), 6.75 (2H, d, *J* 8 Hz) and 7.3-7.45 (5H, m).
12b	6-Br (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1604, 1503, 1360, 1169, 1014 and 775; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 2.88-3.06 (1H, m), 3.41-3.53 (2H, m), 3.85-3.93 (2H, m), 4.47 (1H, brs), 6.52-6.54 (1H, m), 6.70-6.74 (1H, m) and 6.87-6.89 (1H, m).
13b	6-OMe (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1687, 1622, 1529, 1460, 1285, 1173, 1059 and 812; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, *J* 6 Hz), 1.50 (9H, s), 2.85-2.95 (2H, m), 2.9-3.1 (2H, m), 3.33-3.52 (2H, m), 3.80 (3H, s), 3.85-3.95 (1H, m), 4.45-4.49 (1H, brs), 6.1 (1H, brs), 6.16-6.18 (1H, m) and 6.93-6.95 (1H, m).
14b	5-Me, 6-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1533, 1462, 1291, 1058 and 816; NMR δ_H (400 MHz, $CDCl_3$) 1.19 (3H, d, *J* 7.5 Hz), 1.42 (9H, s), 2.42 (3H, s), 2.86-2.91 (1H, m), 2.96-3.0 (1H, m), 3.33-3.44 (4H , m), 3.86-3.91 (1H, m), 4.46 (1H, brs), 6.41 (1H, s) and 6.87 (1H, s).
15b	5-F, 6-Cl (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1678, 1541, 1457, 1058 and 733; NMR δ_H (400 MHz, $CDCl_3$) 1.17 (3H, d, *J* 6.5 Hz), 1.39 (9H, s), 2.90-3.01 (4H, m), 3.40-3.50 (3H, m), 3.84-3.91 (1H, m), 4.43 (1H, brs), 6.33 (1H, d, *J* 6 Hz) and 6.79 (1H, d, *J* 6 Hz)
16b	5F, 6-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1677, 1540, 1501, 1170, 1058 and 732; NMR δ_H (400 MHz, $CDCl_3$) 1.17 (3H, d, *J* 6.5 Hz), 1.39 (9H, s), 2.90-3.01 (4H, m), 3.40-3.50 (3H, m), 3.84-

		3.91 (1H, m), 4.43 (1H, brs), 6.33 (1H, d, *J* 6 Hz) and 6.79 (1H, d, *J* 6 Hz)
17b	5-F, 7-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1532, 1249, 1173, 1057, 839 and 643; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 6.5 Hz), 1.39 (9H, s), 2.96-3.05 (2H, m), 3.26-3.34 (1H, m), 3.48 (1H, dd, *J* 14, 8 Hz), 3.57-3.66 (1H, m), 3.92-3.99 (1H, m), 4.61 (1H, brs) and 6.77-6.69 (2H, m).
18b	6-Br (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1604, 1537, 1503, 1361, 1169, 1014 and 775; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 6 Hz), 1.42 (9H, s), 2.88-3.07 (4H, m), 3.38-3.54 (2H, m), 3.84-3.94 (1H, m), 4.47 (1H, brs), 6.52-6.54 (1H, m), 6.70-6.75 (1H, m) and 6.85-6.89 (1H, m).
19b	7-Br (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1689, 1603, 1526, 1366, 1175, 1051 and 748; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 6.5 Hz), 1.38 (9H, s), 3.0 (2H, t, *J* 8.5 Hz), 3.14-3.39 (1H, m), 3.43-3.51 (1H, m), 3.58-3.67 (1H, m), 3.74-3.81 (1H, m), 3.96-4.04 (1H, m), 4.69 (1H, brs), 6.52 (1H, t, *J* 7.5 Hz), 6.97 (1H, d, *J* 7.5 Hz) and 7.17 (1H, d, *J* 7.5 Hz).
20b	7-Br (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1531, 1465, 1251, 1173, 1056 and 745; NMR δ_H (400 MHz, $CDCl_3$) 1.20 (3H, d, *J* 7 Hz), 1.35 (9H, s), 3.28-3.37 (1H, m), 3.40-3.48 (1H, m), 3.54-3.64 (2H, m), 3.92-4.0 (2H, m), 4.67 (1H, brs), 6.49 (1H, t, *J* 8 Hz), 6.93-6.96 (1H, m) and 7.14 (1H, dd, *J* 8.5, 1 Hz).
21b	6,7-dichloro (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1684, 1533, 1462, 1250, 1059 and 778; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 6 Hz), 1.35 (9H, s), 2.94-3.01 (2H, m), 3.50-3.86 (5H, m), 4.59 (1H, brs), 6.74-6.77 (1H, m) and 6.81-6.84 (1H, m).
22b	5,6-difluoro (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1536, 1505, 1254, 1056 and 748; NMR δ_H (400 MHz, $CDCl_3$) 1.24 (3H, d, *J* 6.5 Hz), 1.46 (9H, s), 2.90-3.07 (4H, m), 3.39-3.55 (2H, m), 3.80-3.89 (1H, m), 4.50 (1H, brs), 6.27 (1H, dd, *J* 10.5, 6 Hz) and

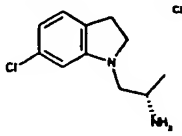
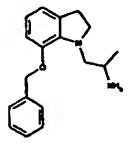
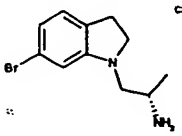
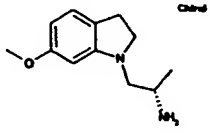
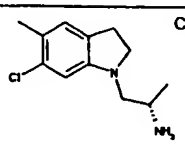
		6.85-6.90 (1H, m).
23b	6,7-dichloro (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1601, 1534, 1463, 1250, 1060 and 778; NMR δ_H (400 MHz, $CDCl_3$) 1.20 (3H, d, *J* 6.5 Hz), 1.36 (9H, s), 2.94-3.00 (2H, m), 3.49-3.56 (2H, m), 3.97-4.03 (3H, m), 4.58 (1H, brs), 6.74 (1H, d, *J* 7.5 Hz) and 6.82 (1H, d, *J* 7.5 Hz).
24b	6-CF_3 (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1540, 1463, 1159, 1115, 799 and 659; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 6 Hz), 1.41 (9H, s), 3.0-3.11 (4H, m), 3.44-3.59 (2H, m), 3.92-3.98 (1H, m), 4.48 (1H, brs), 6.59 (1H, brs), 6.87 (1H, d, *J* 9 Hz) 7.10 (1H, d, *J* 6.5 Hz).
25b	5-F, 6-Br (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1667, 1539, 1500, 1267, 1169, 1057 and 730; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 7 Hz), 1.44 (9H, s), 2.92 (1H, m), 3.0 (1H, dd, *J* 8.5, 5.5 Hz), 3.84-3.92 (2H, m), 4.48 (1H, brs), 6.51 (1H, d, *J* 4.5 Hz) and 6.83 (1H, d, *J* 8Hz).
26b	6-CF_3 (*R*)	IR ν_{max} (Nujol)/cm^{-1} 1679, 1618, 1540, 1159, 1016, 799 and 659; NMR δ_H (400 MHz, $CDCl_3$) 1.20 (3H, d, *J* 6 Hz), 1.38 (9H, s), 3.0 (1H, t, *J* 8 Hz), 3.08 (2H, d, *J* 7 Hz), 3.43-3.57 (2H, m), 3.88-3.96 (1H, m), 4.44 (1H, brs), 7.53 (1H, brs), 6.86 (1H, d, *J* 7 Hz) and 7.07 (1H, d, *J* 7 Hz).
27b	6-Cl, 7-F (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1681, 1557, 1400, 1313, 1263, 1206, 926 and 678; NMR δ_H (400 MHz, $CDCl_3$) 1.18 (3H, d, *J* 7 Hz) 1.38 (9H, s) 2.59-3.02 (2H, m) 3.21 (1H, dd, *J* 14, 5 Hz) 3.39-3.49 (2H, m) 3.61-3.69 (2H, m) 4.45-4.53 (1H, brs) 6.55-6.60 (1H, m) 6.68-6.71 (1H, m)
28b	5-Cl (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1683, 1529, 1490, 1461, 1245, 1168 and 807; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 7 Hz), 1.44 (9H, s), 2.93-3.09 (4H, m), 3.38-3.51 (2H, m), 3.84-3.92 (1H, m), 4.49 (1H, brs), 6.36 (1H, d, *J* 7 Hz) and 6.97-

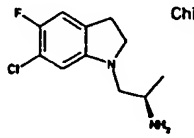
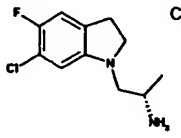
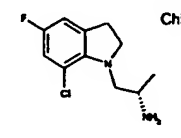
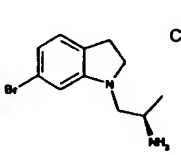
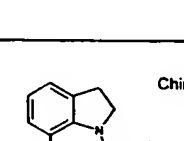
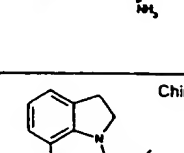
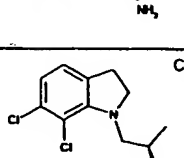
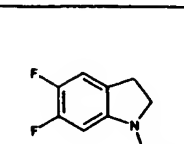
		7.01 (2H, m).
29b	5-F (*S*)	IR ν_{max} (Nujol)/cm^{-1} 1687, 1538, 1464, 1235, 1169, 867 and 796; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, *J* 6.5 Hz), 1.47 (9H, s), 2.95-3.08 (1H, m), 3.40 (1H, dd, *J* 16, 8.5 Hz), 3.47 (1H, dd, J 16, 8.5 Hz), 3.87-3.95 (1H, m), 4.57 (1H, brs), 6.39 (1H, dd, *J* 8, 3.5 Hz), 6.76 (1H, td, *J* 8.5, 2 Hz) and 6.81-6.85 (1H, m).
30b	5-F, 6-MeS (*S*)	mp 96-100 °C; IR ν_{max} (Nujol)/cm^{-1} 3364, 2924, 2854, 1678, 1611, 1528, 1500, 1455, and 1163; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 7.0 Hz), 1.44 (9H, s), 2.44 (3H, s), 2.88-2.99 (3H, m), 3.05 (1H, dd, *J* 13.5, 5.5 Hz), 3.37 (1H, q, *J* 8.5 Hz), 3.46 (1H, q, *J* 8.5 Hz), 3.83-3.95 (1H, m), 4.42-4.62 (1H, brm), 6.42 (1H, d, *J* 6.0 Hz), 6.77-6.81 (1H, m).
31b	5-F, 6-EtS (*S*)	IR ν_{max} (film)/cm^{-1} 3363, 2970, 2927, 1688, 1495, 1366, 1248, 1169, and 1057; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 6.5 Hz), 1.27 (3H, t, *J* 7.0 Hz), 1.44 (9H, s), 2.84-3.07 (6H, m), 3.38 (1H, q, *J* 8.5 Hz), 3.46 (1H, q, *J* 8.5 Hz), 3.82-3.95 (1H, m), 4.41-4.61 (1H, brm), 6.46 (1H, d, *J* 6.5 Hz), 6.80 (1H, d, *J* 9.0 Hz).
32b	4-Me (*S*)	mp 75-76 °C; Found: C, 69.91; H, 9.01; N, 9.56%. $C_{17}H_{26}N_2O_3$ requires C, 70.31; H, 9.02; N, 9.64%; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 6.5 Hz), 1.44 (9H, s), 2.20 (3H, s), 2.92 (2H, t, *J* 8.5 Hz), 3.03 (1H, dd, *J* 6, 13.5 Hz), 3.08 (1H, dd, *J* 6, 14 Hz), 3.42 (1H, q, *J*, 8.5 Hz), 3.48 (1H, q, *J* 8.5 Hz), 3.90 (1H, sept, *J* 6.5 Hz), 6.35 (1H, d, *J* 7.5 Hz), 6.52 (1H, d, *J* 7.5 Hz), 6.98 (1H, t, *J* 7.5 Hz).
33b	5-Br (*S*)	Found: C, 54.06; H, 6.53; N, 7.66%. $C_{16}H_{23}N_2BrO_2$ requires C, 54.09; H, 6.53; N, 7.88%; NMR δ_H (400 MHz, $CDCl_3$) 1.21 (3H, d, *J* 6.5 Hz), 1.42 (9H, s), 2.97 (2H, t, *J*

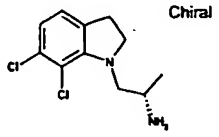
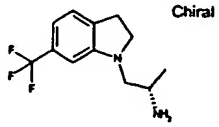
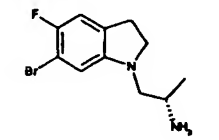
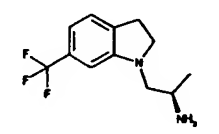
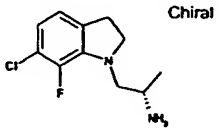
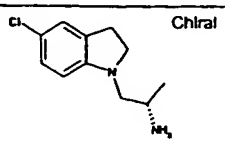
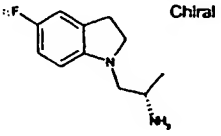
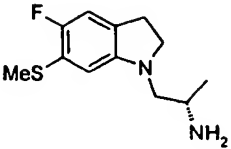
		8.5 Hz), 2.98 (1H, m), 3.08 (1H, dd, *J* 6, 14 Hz), 3.42 (1H, q, *J*, 8.5 Hz), 3.45 (1H, sept, *J* 8.5 Hz), 3.88 (1H, m), 6.35 (1H, d, *J* 8.5 Hz), 7.11 (1H, m), 7.14 (1H, m).
34b	5,6-di-OMe (*S*)	IR ν_{max} (film-DCM)/cm^{-1} 3359, 2974, 2933, 2835, 1694, 1617, 1054, 1455, 1366, 1235, 1206, 1169, 1088, 1059, 1022, 843 and 748; NMR δ_H (400 MHz, $CDCl_3$) 1.26 (3H, d, *J* 6.5 Hz), 2.95 (3H, m), 3.06 (1H, m), 3.41 (2H, m), 3.81 (3H, s), 3.86 (3H, s), 3.87 (1H, m), 6.30 (1H, brs.), 6.75 (1H, s).
35b	4-F (*S*)	IR ν_{max} (Nujol)/cm^{-1} 3345, 2925, 2854, 1602, 1632, 1534, 1469, 1364, 1253, 1226, 1169, 1057, 1024 and 748; NMR δ_H (400 MHz, $CDCl_3$) 1.22 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 3.03 (2H, t, *J* 8.5 Hz), 3.10 (2H, dt, *J* 6, 14 Hz), 3.50 (2H, sept, *J* 8.5 Hz), 3.90 (1H, m), 6.26 (1H, d, *J* 8 Hz), 6.36 (1H, t, *J* 8.5 Hz), 7.00 (1H, dt, *J* 5.5, 8.5 Hz).
36b	7-OMe (*S*)	mp 108-109 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.20 (3H, d, *J* 6.5 Hz), 1.39 (9H, s), 3.01 (2H, m), 3.20 (1H, dd, *J* 5, 13 Hz), 3.39 (1H, q, *J* 8.5 Hz), 3.59 (2H, m), 3.82 (3H, s), 3.88 (1H, m), 6.68 to 6.78 (3H, m).
37b	7-Et (*S*)	mp 81-82 °C; NMR δ_H (400 MHz, $CDCl_3$) 1.26 (3H, t, *J* 7.5 Hz), 1.28 (3H, d, *J* 6.5 Hz), 1.44 (9H, s), 2.67 (2H, q, *J* 7.5 Hz), 3.05 (3H, m), 3.19 (1H, dd, *J* 7.5, 13.5 Hz), 3.48 (2H, m), 3.90 (1H, m), 6.81 (1H, m), 6.95 (1H, d, *J* 7.5 Hz), 6.99 (1H, d, *J* 7.5 Hz).
38b	4-Cl (*S*)	NMR δ_H (400 MHz, $CDCl_3$) 1.22 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 3.05 (2H, t, *J* 8.5 Hz), 3.11 (2H, m), 3.50 (1H, q, *J* 8.5 Hz), 3.58 (1H, m), 3.91 (1H, m), 6.39 (1H, m), 6.65 (1H, m), 7.00 (1H, t, *J* 7.5 Hz); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol, 10 mM aqueous ammonium acetate solution (7:3); Flow Rate 1.0 mL/min; Detection Wavelength λ = 210 nM) Retention Time: 4.55 min.

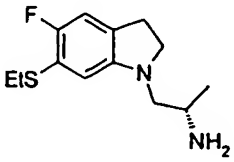
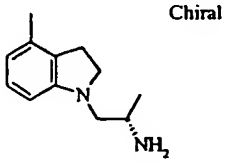
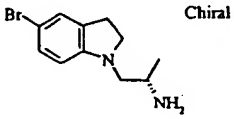
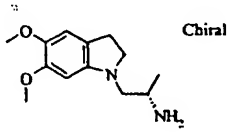
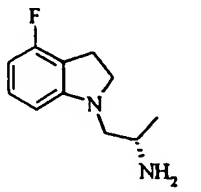
39b to 42b		intermediates used immediately

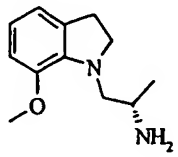
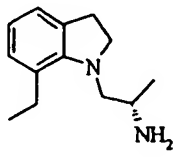
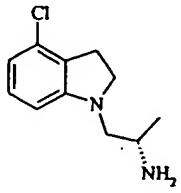
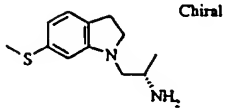
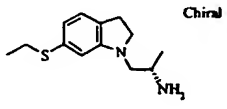
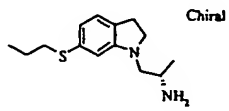
Table 9: **Examples 10-42.** Indolines prepared using General Method B, step (c)

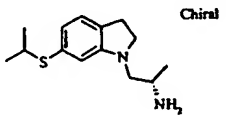
No	Structure	Data
10		Fumarate. mp 164 °C (dec.); Found C, 56.35; H, 6.12; N, 9.30%. $C_{11}H_{15}ClN_2.0.75\ C_4H_4O_4$ requires: C, 56.47; H, 6.09; N, 9.41%.
11		Trifluoroacetate. mp 201-203 °C; Found: C, 60.57; H, 5.86; N, 6.99%. $C_{18}H_{22}N_2O.CF_3CO_2H$ requires: C, 60.60; H, 5.85; N, 7.06%; IR ν_{max} (Nujol)/cm^{-1} 1676, 1464, 1204, 1135, 1057, 841, 754 and 724; NMR δ_H (400 MHz, DMSO-d_6), 0.93, (3H, d, J 6.5 Hz), 2.82-2.98 (2H, m), 3.09-3.18 (1H, m), 3.23-3.5 (4H, m), 5.07 (2H, s), 6.63 (1H, t, J 8 Hz), 6.72 (1H, d, J 7.5 Hz), 6.82 (1H, d, J 7 Hz), 7.29-7.49 (5H, m) and 7.76-8.01 (3H, br s).
12		Fumarate. mp 191-192 °C; Found: C, 49.51; H, 5.48; N, 8.59%. $C_{11}H_{15}BrN_2.0.6\ C_4H_4O_4$ requires: C, 49.55; H, 5.40; N, 8.62%.
13		Fumarate. mp 175-6 °C; IR ν_{max} (Nujol/cm^{-1}) 1623, 1568, 1525, 1497, 1462, 1379, 1343, 1276, 1196, 1176, 1097 and 666; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, d, J 7.5Hz), 2.78-2.87 (2H, m), 2.87-2.96 (2H, m), 3.07-3.17 (2H, m), 3.22-3.31 (1H, m), 3.39-3.49 (1H, m) 3.70 (3H, s), 6.1-6.15 (1H, m), 6.17-6.21 (1H, m) and 6.83-6.93 (1H, m).
14		Fumarate. mp 172-174 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.52 (3H, d, J 6.5 Hz), 2.49 (3H, s), 3.28 (1H, dd, J 13, 5.5 Hz) 3.5-3.85 (6H, m), 6.93 (1H, s) and 7.31 (1H, s).

15		Fumarate. mp 198-200 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, d, J 7 Hz) 2.82-3.01 (2H, m) 3.18-3.38 (3H, m) 3.46-3.54 (1H, m) 6.69 (1H, d, J 7 Hz) 7.08 (1H, d, J 7 Hz)
16		NMR δ_H (400 MHz, DMSO-d_6) 1.12 (3H, d, J 7.5 Hz), 2.91-2.98 (2H, m), 3.07 (1H, dd, J 7.5 Hz), 3.26-3.34 (1H, m), 3.33-3.40 (1H, m), 3.50-3.59 (2H, m), 6.65 (1H, d, J 7 Hz) and 7.09 (1H, d, J 7 Hz).
17		Fumarate. mp 195-196 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.12 (3H, d, J 6.5 Hz), 2.93-2.99 (1H, m), 3.15-3.51 (6H, m) and 6.91-6.98 (2H, m).
18		Fumarate. mp 193-194 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.13 (3H, d, J 6.5 Hz), 2.82-2.90 (1H, m), 2.94 (1H, dd, J 13.5, 5 Hz), 3.10-3.18 (1H, dd, J 13.5, 8 Hz), 3.21-3.37 (2H, m), 3.45-3.53 (2H, m), 6.65-6.69 (2H, m) and 6.93 (1H, d, J 7.5 Hz).
19		Fumarate. mp 197 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, d, J 6.5 Hz), 2.93-3.0 (2H, m), 3.30-3.57 (5H m), 6.56 (1H, dd, J 8, 7 Hz), 7.05 (1H, dd, J 7, 1 Hz) and 7.15 (1H, dd, J 8, 1 Hz).
20		Fumarate. mp 202-204 °C; NMR δ_H (400 MHz, DMSO d_6) 1.13 (3H, d, J 6.5 Hz), 2.93-3.56 (7H, m), 6.54 (1H, t, J 7.5 Hz), 7.02-7.05 (1H, m) and 7.15 (1H, dd, J 7.5, 1 Hz).
21		Fumarate. mp 213-214 °C ; NMR δ_H (400 MHz, DMSO-d_6) 1.11 (3H, d, J 6 Hz), 2.91-2.97 (1H, m), 3.22-3.63 (6H, m), 6.82 (1H, d, J 8 Hz) and 6.98 (1H, d, J 8 Hz).
22		Fumarate. mp 165 °C (dec.); NMR δ_H (400 MHz, DMSO-d_6) 1.21 (3H, d, J 6.5 Hz), 2.84-2.93 (2H, m), 2.99 (1H, dd, J 13, 5 Hz), 3.22 (1H, dd, J 13, 8 Hz), 3.28-3.41 (2H, m), 3.48-3.56 (1H, m), 6.64 (1H, dd, J, 12, 6.5 Hz) and 7.08-7.13 (1H, m).

23		Fumarate. mp 176-178 °C ; NMR δ_H (400 MHz, DMSO-d_6) 1.16 (3H, d, J 6.5 Hz), 2.94-3.00 (1H, m), 3.29-3.63 (6H, m), 6.85 (1H, d, J 8 Hz) and 7.01 (1H, d, J 8 Hz).
24		Fumarate. mp 197-199 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, d, J 6 Hz), 2.99-3.06 (2H, m), 3.35-3.62 (5H, m), 6.79 (1H, brs), 6.89 (1H, d, J 7.5 Hz) and 7.20 (1H, d, J 7.5 Hz).
25		Fumarate. mp 195-196 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.18 (3H, d, J 6.5 Hz), 2.86-2.92 (2H, m), 2.96 (1H, dd, J 14, 5 Hz), 3.15-3.23 (2H, m), 3.37-3.26 (1H, m), 3.47-3.54 (1H, m), 6.78 (1H, d, J 6 Hz) and 7.07 (1H, d, J 8.5 Hz).
26		Fumarate. mp 196-197 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.14 (3H, d, J 6 Hz), 2.95-3.01 (1H, m), 3.14-3.58 (6H, m), 6.75 (1H, brs), 6.85 (1H, d, J 8 Hz) and 7.17 (1H, d, J 8 Hz).
27		Fumarate. NMR δ_H (400 MHz, DMSO-d_6) 1.14 (3H, d, J 6 Hz), 2.98-3.03 (1H, m), 3.19-3.56 (6H, m), 6.73 (1H, dd, J 6.5, 6 Hz) and 6.90 (1H, d, J 8 Hz).
28		Fumarate. mp 207-210 °C ; NMR δ_H (400 MHz, DMSO-d_6) 1.13 (3H, d, J 6.5 Hz), 2.89-2.98 (2H, m), 3.05-3.51 (5H, m), 6.52 (1H, d, J 8 Hz) and 7.05-7.07 (2H, m).
29		Fumarate. mp 175-176 °C ; NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, J 6.5 Hz), 2.87-2.99 (2H, m), 3.33-3.41 (2H, m), 3.43-3.51 (3H, m), 6.54 (1H, dd, J 8.5, 4.5 Hz), 6.82 (1H, td, J 8.5, 2.5 Hz) and 6.94 (1H, dd, J 8.5, 2.5 Hz).
30		Fumarate. mp 96-100 °C; IR ν_{max} (Nujol)/cm^{-1} 3364, 2924, 2854, 1678, 1611, 1528, 1500, 1455, and 1163; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, J 7.0 Hz), 1.44 (9H, s), 2.44 (3H, s), 2.88-2.99 (3H, m), 3.05 (1H, dd, J 13.5, 5.5 Hz), 3.37 (1H, q, J 8.5 Hz), 3.46 (1H, q, J 8.5 Hz), 3.83-3.95 (1H, m), 4.42-4.62 (1H, brm), 6.42 (1H, d, J 6.0 Hz), 6.77-6.81 (1H, m).

31		Fumarate. mp 164-168 °C; IR ν_{max} (Nujol)/cm^{-1} 2924, 1702, 1626, 1458, 1378, 1227, 1041, 791 and 652; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, t, *J* 7.0 Hz), 1.22 (3H, d, *J* 6.5 Hz), 2.81-3.01 (5H, m), 3.19-3.31 (2H, m), 3.32-3.41 (1H, m), 3.45-3.54 (1H, m), 6.44 (2H, s), 6.59 (1H, d, *J* 6.5 Hz), 6.95 (1H, d, *J* 9.5 Hz), 8.00-10.31 (3H, brm).
32		Fumarate. mp 161-162 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6.5 Hz), 2.14 (3H, s), 2.85 (2H, m), 2.99 (1H, dd, *J* 5.5, 13.5 Hz), 3.22 (1H, dd, *J* 5.5, 13.5 Hz), 3.30 (1H, t, *J* 8.5 Hz), 3.36 (1H, m), 3.47 (1H, dt, *J* 6.5, 8.5 Hz), 6.40 (1H, d, *J* 8 Hz), 6.45 (2H, s), 6.46 (1H, d, *J* 8 Hz), 6.92 (1H, t, *J* 8 Hz); Found: C, 59.37; H, 7.32; N, 8.55%. $C_{16}H_{22}N_2O_4.H_2O$ requires C, 59.24; H, 7.46; N, 8.64%.
33		Fumarate. NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6.5 Hz), 2.94 (2H, m), 3.02 (1H, dd, *J* 5.5, 14 Hz), 3.23 (1H, dd, *J* 5.5, 13.5 Hz), 3.31 (1H, t, *J* 8.5 Hz), 3.38 (1H, m), 3.50 (1H, dt, *J* 7, 8.5 Hz), 6.46 (2H, s), 6.53 (1H, d, *J* 8.5 Hz), 7.14 (1H, dd, *J* 2.5, 8.5 Hz), 7.19 (1H, d, *J* 2.5 Hz); Found: C, 48.51; H, 5.16; N, 7.46%. $C_{15}H_{19}BrN_2O_4$ requires C, 48.53; H, 5.16; N, 7.54%.
34		Fumarate. mp 166-167 °C (dec.); NMR δ_H (400 MHz, DMSO-d_6) 1.26 (3H, d, *J* 6.5 Hz), 2.84 (2H, m), 2.93 (1H, dd, *J* 5, 13.5 Hz), 3.29 (1H, dd, *J* 5, 13.5 Hz), 3.38 (1H, m), 3.45 (1H, dt, *J* 8.5, 5.5 Hz), 3.65 (3H, s), 3.73 (3H, s), 6.42 (1H, s), 6.46 (2H, s), 6.78 (1H, s); Found: C, 56.52; H, 6.91; N, 7.63%. $C_{17}H_{24}N_2O_6.0.5H_2O$ requires C, 56.50; H, 6.97; N, 7.75%.
35		Fumarate. mp 167-168 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6.5 Hz), 2.96 (2H, m), 3.06 (1H, dd, *J* 5.5, 13.5 Hz), 3.28 (1H, dd, *J* 7.5, 13.5 Hz), 3.40 (2H, m), 3.56 (1H, m), 6.40 (1H, t, *J* 8.5 Hz), 6.38-6.43 (2H, m), 6.46 (2H, s), 7.03 (1H, dt, *J* 6,8 Hz); Found: C, 58.03; H, 6.18; N,

		9.01%. $C_{15}H_{19}N_2FO_4$ requires C, 58.06; H, 6.17; N, 9.02%.
36		Fumarate. mp 155-156 °C (dec.); NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, J 6 Hz), 2.92 (2H, t, J 9 Hz), 3.36 (5H, m), 3.75 (3H, s), 6.45 (2H, s), 6.66 (1H, t, J 7.5 Hz), 6.73 (1H, dd, J 1, 7.5 Hz), 6.76 (1H, d, J 7.5 Hz).
37		Fumarate. mp 182-183 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.17 (3H, t, *J* 7.5 Hz), 1.28 (3H, d, *J* 6.5 Hz), 2.63 (2H, m), 2.93 (2H, m), 3.10 (1H, dd, *J* 7, 13 Hz), 3.21 (1H, dd, *J* 5.5, 13 Hz), 3.35 (2H, m), 6.45 (2H, s), 6.72 (1H, t, *J* 7.5 Hz), 6.89 (1H, d, *J* 7.5 Hz), 6.95 (1H, dd, *J* 1, 7.5 Hz).
38		Hemi-fumarate. mp 190-192 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.14 (3H, d, *J* 6.5 Hz), 2.96 (2H, m), 3.13 (1H, dd, *J* 8, 14 Hz), 3.25 (1H, m), 3.41 (1H, q, *J* 8.5 Hz), 3.54 (2H, dd, *J* 7, 8.5 Hz), 6.41 (1H, s), 6.48 (1H, d, *J* 8 Hz), 6.58 (1H, d, *J* 8 Hz), 7.01 (1H, t, *J* 8 Hz).
39		Fumarate. mp. darkens 165 °C, melts 167-168 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.22 (3H, d, *J* 6.4 Hz), 2.42 (3H, s), 2.86-2.89 (2H, m), 2.97-3.02 (1H, dd, *J* 13.8, 5.3 Hz), 3.25-3.49 (4H, m), 6.43 (2H, s), 6.48-6.50 (2H, m) and 6.96; IR (Nujol)ν_{max}/cm^{-1} 2920, 1706, 1464, 979 and 652.
40		Fumarate. mp. darkens 140 °C, melts 146-147 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.17-1.23 (6H, m), 2.83-2.94 (4H, m), 2.97-3.02 (1H, dd, *J* 14.0, 5.6 Hz), 3.22-3.53 (4H, m), 6.45 (2H, s), 6.56 (2H, m) and 6.97 (1H, d, *J* 7.4 Hz); IR (Nujol)ν_{max}/cm^{-1} 2924, 1676, 1463, 1377, 1278 and 650.
41		Fumarate. mp. 147-148 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.94 (3H, t, *J* 7.0 Hz), 1.22 (3H, d, *J* 6.0 Hz), 1.50-1.57 (2H, m), 2.83-2.91 (4H, m), 3.00 (1H, dd, *J* 13.5, 5.1 Hz), 3.23-3.51 (4H, m), 6.44 (2H, s), 6.54-6.56 (2H, m), 6.95 (1H, d, *J* 8.1 Hz); IR (Nujol)ν_{max}/cm^{-1} 2925, 1706, 1604, 1464, 957

		and 652.
42		Fumarate. mp. 164-165 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.18-1.23 (9H, m), 2.86-3.03 (3H, m), 3.26-3.51 (5H, m), 6.44 (2H, s), 6.49-6.61 (2H, m), 6.98 (1H, d, *J* 7.5 Hz); IR (Nujol)ν_{max}/cm^{-1} 2924, 1725, 1598, 1461, 1312, 880, 790 and 636.

Indole Syntheses:

6-Chloro-5-fluoro-1*H*-indole

2-Fluoro-4-methyl-5-nitroaniline

Concentrated nitric acid (20 g) was added dropwise over 90 min to a stirred solution of 2-fluoro-4-methylaniline (25 g, 200 mmol) in concentrated sulfuric acid (250 mL) at –10 °C. The mixture was poured onto ice (1 L) and the solution adjusted to pH 13 using solid sodium hydroxide (CARE: EXOTHERMIC REACTION) keeping the internal temperature below 80 °C. The mixture was extracted with ether (3 x) and the combined organic extracts were washed with brine, dried (magnesium sulfate) and concentrated *in vacuo* to leave the product (32 g, 94%) as an orange solid. A recrystallised sample (heptane, ethyl acetate) gave mp 80-82 °C; $C_7H_7FN_2O_2$ requires: C, 49.42; H, 4.15; N, 16.46%. Found C, 49.60; H, 4.15; N, 16.57%.

3-Chloro-4-fluoro-6-methylnitrobenzene

A solution of sodium nitrite (7.6 g, 110 mmol) in water (20 mL) was added dropwise over 30 min at 0 °C to a stirred suspension of 2-fluoro-4-methyl-5-nitroaniline (17g, 100 mmol) in concentrated hydrochloric acid (200 mL). The mixture was stirred at 0 °C for 20 min then transferred to a dropping funnel and added dropwise over 30 min to a stirred suspension of copper(I)chloride (16 g) in concentrated hydrochloric acid (150 mL) at 0 °C. The mixture was allowed to warm to room temperature and stirred for 16 h

then poured onto ice-water (1.5 L) and extracted with ethyl acetate (3 x). The combined organic extracts were washed with brine, dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane] to give the product (14.2 g, 75%) as a yellow solid. An analytical sample was recrystallised (heptane) to give a white solid: mp 57-58 °C ; NMR δ_H (400 MHz, $CDCl_3$) 8.03 (1H, d, *J* 7.2 Hz), 7.13 (1H, d, *J* 9.1 Hz), 2.6 (3H, s).

6-Chloro-5-fluoro-1*H*-indole

N,N-Dimethylformamide dimethylacetal (6.3 ml, 45 mmol) was added in one portion to a stirred solution of 3-chloro-4-fluoro-6-methylnitrobenzene (7.0 g, 37 mmol) in *N,N*-dimethylformamide (30 mL) at 130 °C under Ar. The mixture was stirred at 130 °C for 2 h, cooled to room temperature, concentrated *in vacuo* and partitioned between ethyl acetate and water and the aqueous was extracted with ethyl acetate (2 x). The combined organic extracts were washed with brine, dried (magnesium sulfate), concentrated *in vacuo*, dissolved in methanol/tetrahydrofuran (1:1; 100 mL) and Raney Nickel®, 50% wt. in water, (5 g) was added. The mixture was cooled to 0 °C and hydrazine hydrate (3 mL, 59 mmol) was added dropwise over 2 min. The mixture was warmed to room temperature, stirred for 1 h then cooled to 0 °C and hydrazine hydrate (1.5 mL) was added over 2 min. The mixture was warmed to room temperature, stirred for 1 h and filtered through celite®. The filter-cake was washed with tetrahydrofuran and the filtrate was concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-dichloromethane (4:1)] to give the product (3.2 g, 51%) as an off-white solid. An analytical sample was recrystallised (heptane) to give a white solid: mp 105-107 °C; NMR δ_H (400 MHz, $CDCl_3$) 8.01 (1H, br. s), 7.40 (1H, d, J 6 Hz), 7.35 (1H, d, J 9.4 Hz), 7.25 (1H, t, J 2.8 Hz), 6.50-6.51 (1H, m).

7-Chloro-5-fluoroindole

N-(2-Chloro-4-fluorophenyl)-2-(hydroxyimino)-acetamide

A solution of chloral hydrate (6.25 g, 37.8 mmol), sodium sulfate decahydrate (48.3 g, 340 mmol) and water (100 mL) was added to a stirred solution of 2-chloro-4-

fluoroaniline (5.0 g, 34 mmol), hydroxylamine hydrochloride (9.19 g, 130 mmol), water (50 mL) and concentrated hydrochloric acid (3 mL). The reaction mixture was heated under reflux for 1 h, cooled to room temperature, stirred for 16 h and filtered. The filter-cake was recrystallised (methanol-water) to give the product (5.58 g, 75% yield) as a pale brown solid: IR ν_{max} (Nujol)/cm^{-1} 1655, 1613, 1536, 1267, 1191, 1021, 853 and 558; NMR δ_H (400 MHz, DMSO-d_6) 7.20-7.29 (1H, m), 7.51-7.57 (1H, m), 7.78-7.84 (1H, m), 9.61 (1H, s) and 12.36 (1H, s).

7-Chloro-5-fluoroindole-2,3-dione

N-(2-Chloro-4-fluorophenyl)-2-(hydroxyimino)-acetamide (5.4 g, 24.9 mmol) was added portionwise to conc. sulfuric acid (70 mL) at 70 °C. The mixture was stirred for 1 h, poured onto ice-water (200 mL) and filtered. The filter-cake was dried *in vacuo* to give crude 7-chloro-5-fluoroindole-2,3-dione which was used immediately without further purification.

7-Chloro-5-fluoroindole

To a stirred solution of lithium aluminium hydride (0.57 g, 15 mmol) in THF (20 mL) at 0 °C under Ar was added portionwise 7-chloro-5-fluoroindole-2,3-dione. The mixture was heated under reflux for 4 h, cooled to 0 °C and water (0.5 mL) was added. The mixture was stirred for 5 min then treated with aqueous sodium hydroxide solution (2 N, 0.5 mL) followed by water (0.5 mL) and filtered through a pad of celite®. The filter-cake was washed with tetrahydrofuran and the filtrate was concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (3:1)] to give the product (0.31 g, 37% yield) as a blue oil: IR ν_{max} (film)/cm^{-1} 3459, 1575, 1485, 1341, 1120 and 722; NMR δ_H (400 MHz, $CDCl_3$) 6.55 (1H, t, *J* 2.5 Hz) 7.01 (1H, dd, *J* 9,2 Hz) 7.21 (1H, m) 7.29 (1H, t, *J* 2.5 Hz) 8.33 (1H, brs).

6-Chloro-7-fluoroindole

Methyl 2-azido-3-(4-chloro-3-fluorophenyl)propenoate

Sodium (2.32 g, 100 mmol) was added portionwise to stirred methanol (200 mL) at 0 °C under Ar. The mixture was stirred for 1 h and cooled to –15 °C. A solution of 4-chloro-3-fluorobenzaldehyde (4.0 g, 25 mmol), methyl azidoacetate (8.7 g, 75 mmol) in methanol (20 mL) was added. The mixture was stirred for 3 h, warmed to 4 °C and stirred for 16 h and partitioned between water (300 mL) and ether (3 x 200 mL). The organic extracts were combined and washed with brine (2 x), dried (magnesium sulfate) and concentrated *in vacuo* to give an orange solid. Recrystallisation (methanol) gave the product (5.09 g, 80% yield) as a pale yellow solid: IR ν_{max} (Nujol)/cm^{-1} 2115, 1708, 1616, 1234, 1060, 896, 818 and 616; NMR δ_H (400 MHz, $CDCl_3$) 3.82 (3H, s) 6.64 (1H, s) 7.35-7.46 (2H, m) 7.74-7.78 (1H, m).

Methyl 6-chloro-7-fluoroindole-2-carboxylate

A solution of methyl 2-azido-3-(4-chloro-3-fluorophenyl)propenoate (15.08 g, 59 mmol) in xylene (200 mL) was added dropwise to stirred xylene (1 L) under reflux. The mixture was stirred for 3 h, cooled to room temperature, concentrated *in vacuo* and purified by column chromatography [SiO_2; isopropyl ether-hexane (5:2)] to give the product (2.3 g, 17% yield) as a colourless solid: IR ν_{max} (Nujol)/cm^{-1} 3298, 1709, 1460, 1377, 1204 and 737; NMR δ_H (400 MHz, $CDCl_3$) 3.85 (3H, s) 7.09-7.15 (1H, m) 7.21 (1H, m) 7.38 (1H, m) and 9.05 (1H, brs).

6-Chloro-7-fluoroindole-2-carboxylic acid

A stirred solution of methyl 6-chloro-7-fluoroindole-2-carboxylate (2.3 g, 10 mmol), tetrahydrofuran (20 mL) and aqueous sodium hydroxide solution (2 N, 20 mL) was heated under reflux for 16 h. The mixture was cooled to room temperature and partitioned between aqueous sulfuric acid (2 M, 30 mL) and ethyl acetate (3 x 30 mL). The combined organic extracts were dried (magnesium sulfate) and concentrated *in vacuo* to give the product (2.1 g, 98% yield) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 1681, 1557, 1263, 1206, 926 and 840; NMR δ_H (400 MHz, DMSO-d_6) 7.01-7.12 (2H, m) and 7.31-7.34 (1H, m).

6-Chloro-7-fluoroindole

A solution of 6-chloro-7-fluoroindole-2-carboxylic acid (2.1 g, 9.8 mmol) and diphenyl ether (30 mL) was heated under reflux for 4 h, cooled to room temperature and purified by column chromatography [SiO_2; heptane-ethyl acetate (99:1 to 10:1)] to give the product (1.04 g, 63% yield) as a pale brown oil: IR ν_{max} (Nujol)/cm^{-1} 3460, 1573, 1490, 1446, 1201, 802 and 619; NMR δ_H (400 MHz, $CDCl_3$) 6.44 (1H, brs) 7.04-7.09 (1H, m) 7.21-7.26 (1H, m) 7.30-7.34 (1H, m) and 8.40 (1H, brs).

6-Bromo-5-fluoroindole

3-Bromo-4-fluoro-6-methylnitrobenzene

A solution of sodium nitrite (7.6 g, 110 mmol) in water (30 mL) was added dropwise over 15 min to a stirred suspension of 2-fluoro-4-methyl-5-nitroaniline (17 g, 100 mmol) in hydrobromic acid, (48%, 150 mL) and water (30 mL) at 0 °C. The mixture was stirred at 0 °C for 15 min then added portionwise over 10 min to a stirred suspension of copper(I)bromide (16.5 g, 112 mmol) in hydrobromic acid (48%, 50 mL) and water (90 mL) at 0 °C. The mixture was stirred at 0 °C for 30 min then warmed to room temperature and stirred for 3 h. The mixture was poured onto ice-water (500 mL) and extracted with ethyl acetate (3 x). The combined organic extracts were washed with saturated aqueous sodium bicarbonate solution, dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (19:1)] to give the product (11.8 g, 50%) as an off-white solid: IRν_{max} (nujol)/cm^{-1} 2925, 2855, 1571, 1523, 1478, 1349, 1264, 1103, 895, 671 and 589; NMR δ_H (400 MHz, $CDCl_3$) 8.27 (1H, d, *J* 6.5), 7.10 (1H, d, *J* 9.1), 2.60 (3H, s).

6-Bromo-5-fluoroindole

N,N-Dimethylformamide dimethylacetal (8.5 mL, 60 mmol) was added in one portion to a stirred solution of 3-bromo-4-fluoro-6-methylnitrobenzene (11.8 g, 50 mmol) in *N,N*-dimethylformamide (30 mL) at room temperature under Ar. The mixture was heated to 120 °C, stirred for 16 h then concentrated *in vacuo* to leave a crude oil. The oil

was crystallised [methanol-dichloromethane (4:1)] to give a purple solid (4.5 g). The solid was dissolved in methanol/tetrahydrofuran (1:1; 30 mL) and Raney Nickel® (1 g) was added. The mixture was cooled to 0 °C and hydrazine hydrate (0.8 mL, 16 mmol) was added in one portion. The mixture was stirred at 0 °C for 90 min then a further aliquot of hydrazine hydrate (0.8 mL) was added. The mixture was stirred at 0 °C for 30 min then filtered through celite® and the filter cake was washed with tetrahydrofuran. The filtrate was concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-dichloromethane (4:1)] to give the *product* (1.7 g, 16%) as an off-white solid: IR ν_{max} (nujol)/cm^{-1} 3395, 2925, 2855, 1570, 1469, 1451, 1408, 1314, 1145, 1105, 865, 763 and 502; NMR δ_H (400 MHz, $CDCl_3$) 7.85 (1H, br. s), 7.55 (1H, d, *J* 5.5 Hz), 7.34 (1H, d, *J* 9 Hz), 7.23 (1H, t, J 2.8 Hz), 6.49-6.51 (1H, m).

5-Fluoro-6-methylthioindole

5-Fluoro-6-methylthioindole-2,3-dione

Sodium thiomethoxide (5.93 g, 84.6 mmol) was added to a solution of 5,6-difluoroindole-2,3-dione (7.75 g, 42.3 mmol) in dimethylformamide (400 mL). The reaction was stirred at room temperature for 1 h, then poured onto ice (2 L). The resulting solid was collected by filtration, washed with water and dried at 40 °C under vacuum to give a brown solid (3.12 g, 35%): mp 296 °C; $C_9H_6F_1NO_2S$ requires: C, 51.18; H, 2.86; N, 6.63; S, 15.18%. Found C, 50.95; H, 2.85; N, 6.58; S, 15.35%; IR ν_{max} (Nujol)/cm^{-1} 3285, 2925, 2854, 1760, 1714, 1611, 1465 and 1036; NMR δ_H (400 MHz, DMSO-d_6) 2.58 (3H, s), 6.71 (1H, d, *J* 6.0 Hz), 7.38 (1H, d, *J* 9.0 Hz), 11.02 (1H, brs).

5-Fluoro-6-methylthioindole

5-Fluoro-6-methylthioindole was prepared from 5-fluoro-6-methylthioindole-2,3-dione according to the method described in the preparation of 7-chloro-5-fluoroindole as a white solid (1.21 g, 37%): mp 51 °C; C_9H_8FNS requires: C, 59.65; H, 4.45; N, 7.73; S, 17.69%. Found C, 59.75; H, 4.44; N, 7.72; S, 17.65%; IR ν_{max} (Nujol)/cm^{-1} 3461, 3408, 3361, 2925, 2855, 1455, 1304 and 1137; NMR δ_H (400 MHz, $CDCl_3$) 2.49 (3H,

s), 6.49-6.51 (1H, m), 7.22 (1H, t, *J* 3.0 Hz), 7.30 (1H, d, *J* 10.0 Hz), 7.36 (1H, d, *J* 6.5 Hz), 8.0-8.25 (1H, brm).

6-Ethylthio-5-fluoroindole

6-Ethylthio-5-fluoroindole-2,3-dione

6-Ethylthio-5-fluoroindole-2,3-dione was prepared from 5,6-difluoroindole-2,3-dione using sodium thioethoxide according to the method described in the synthesis of 5-fluoro-6-methylthioindole as a brown solid (2.53 g, 19%): mp 215 °C; IR ν_{max} (Nujol)/cm^{-1} 3286, 2926, 2855, 1766, 1712, 1619, 1467 and 1038; NMR δ_H (400 MHz, DMSO-d_6) 1.32 (3H, t, *J* 7.5 Hz), 3.13 (2H, q, *J* 7.5 Hz), 6.77 (1H, d, *J* 6.0 Hz), 7.39 (1H, d, *J* 8.5 Hz), 10.97 (1H, brs).

6-Ethylthio-5-fluoroindole

6-Ethylthio-5-fluoroindole was prepared from 6-ethylthio-5-fluoroindole-2,3-dione according to the method described in the synthesis of 7-chloro-5-fluoroindole as a pale green oil (0.49 g, 23%): IR ν_{max} (film)/cm^{-1} 3426, 2969, 2927, 1565, 1471, 1454, 1307, 1140 and 1101; NMR δ_H (400 MHz, $CDCl_3$) 1.26 (3H, t, *J* 7.5), 2.91 (2H, q, *J* 7.5 Hz), 6.48-6.51 (1H, m), 7.23 (1H, t, *J* 2.5 Hz), 7.31 (1H, d, *J* 10.0 Hz), 7.46 (1H, d, *J* 6.0 Hz), 8.01-8.25 (1H, brm).

6-Methylthioindole

To a stirred suspension of potassium hydride (30% dispersion in mineral oil, 0.68 g, 5.10 mmol) in dry tetrahydrofuran (20 mL) at 0 °C, under Ar, was added a solution of 6-bromoindole (1.0 g, 5.1 mmol) in tetrahydrofuran (10 mL). After 15 mins, the solution was cooled to –78 °C and *tert*-butyllithium (1.7 M, 6.0 mL, 10 mmol) was added dropwise. The mixture was stirred for a further 15 mins and then dimethyl disulphide (0.92 mL, 10.2 mmol) was added dropwise. The solution was warmed gradually to room temperature, then diluted carefully with saturated ammonium chloride solution (20 mL). The mixture was extracted with ether (2 x 50 mL). The combined organic

extracts were dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-dichloromethane (1:1)] to give the product as a pale-yellow solid (0.56 g, 68%): mp. 91-92 °C; NMR δ_H (400 MHz, $CDCl_3$) 2.51 (3H, s), 6.49 (1H, m), 7.09-7.16 (2H, m), 7.35 (1H, s), 7.54 (1H, d, *J* 8.2 Hz) and 8.09 (1H, br s); IR (Nujol)ν_{max}/cm^{-1} 3388, 2925, 1459, 1311, 1098, 810, 717 and 527.

6-Ethylthioindole

6-Ethylthioindole was prepared according to the method described for the synthesis of 6-methylthioindole as a clear oil (0.73 g, 81%). NMR δ_H (400 MHz, $CDCl_3$) 1.27 (3H, t, *J* 7.6 Hz), 2.93 (2H, q, *J* 7.5 Hz), 6.51 (1H, m), 7.16-7.18 (2H, m), 7.45 (1H, s), 7.55 (1H, d, *J* 8.3 Hz) and 8.10 (1H, br s); IR (film)ν_{max}/cm^{-1} 3404, 2970, 1616, 1450, 1310, 810 and 723.

6-n-Propylthioindole

6-n-Propylthioindole was prepared according to the method described for the synthesis of 6-methylthioindole as a clear oil, which solidified on standing (0.88 g, 91%). mp. 54-55 °C; NMR δ_H (400 MHz, $CDCl_3$) 0.99 (3H, t, *J* 7.4 Hz), 1.56-1.65 (2H, m), 2.87 (2H, dd, *J* 14.5, 7.1 Hz), 6.51 (1H, m), 7.16-7.18 (2H, m), 7.45 (1H, s), 7.54 (1H, d, *J* 8.0 Hz), and 8.10 (1H, br s); IR (Nujol)ν_{max}/cm^{-1} 3388, 2924, 1614, 1452, 1311, 810, 718 and 524.

6-Isopropylthioindole

6-Isopropylthioindole was prepared according to the method described for the synthesis of 6-methylthioindole as a clear, viscous oil (0.59 g, 61%). NMR δ_H (400 MHz, $CDCl_3$) 1.26 (6H, d, *J* 7.0 Hz), 3.25-3.32 (1H, m), 6.52 (1H, m), 7.19-7.56 (2H, m) and 8.12 (1H, br s); IR (Nujol)ν_{max}/cm^{-1} 3416, 2960, 1613, 1449, 1338, 1050, 810 and 606.

General Method C:

Example 43: (*S*)-1-(6-Phenylindolin-1-yl)-2-propylamine fumarate

Step a: (*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-phenylindoline (43a)

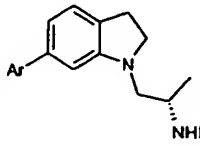
To a stirred solution of palladium(II)acetate (0.011 g, 0.05 mmol) and triphenylphosphine (0.052 g, 0.2 mmol) in tetrahydrofuran (5 mL) under Ar was added (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-bromoindoline (0.34 g, 1 mmol). The mixture was stirred for 10 min and treated with a solution of phenyl boronic acid (0.24 g, 2 mmol) in ethanol (2 mL) followed by aqueous sodium bicarbonate solution (2 M, 5 mL). The mixture was heated under reflux for 2 h and cooled to room temperature. The mixture was partitioned between ether (50 mL) and water (2 x 20 mL). The organic layer was dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (6:1)] to give the product (0.24 g, 69% yield) as a colourless oil. Data for (43a) are included in Table 10 with the compounds prepared using General Method C, step (a).

Step (b): (*S*)-1-(6-Phenylindolin-1-yl)-2-propylamine fumarate (43)

(*S*)-1-(6-Phenylindolin-1-yl)-2-propylamine fumarate was prepared according to the method described in General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-phenylindoline to give the product (0.12 g, 65% yield) as a white solid. Data for (43) are included in Table 11 with the compounds prepared using General Method C, step (b).

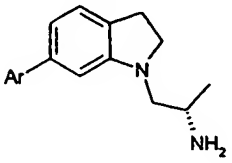
The compounds shown in Tables 10 and 11 were prepared according to General Method C using the appropriate aryl boronic acid.

Table 10: Indolines prepared using General Method C, step (a)

No		Data
43a	Ph	IR ν_{max} (Nujol)/cm^{-1} 1682, 1529, 1456, 1367, 1170, 1064 and 756; NMR δ_H (400 MHz, $CDCl_3$) 1.23 (3H, d, *J* 6 Hz), 1.41 (9H, s), 3.06 (1H, t, *J* 8.5 Hz), 3.14 (1H, d, *J* 6.5 Hz), 3.46-3.56 (2H, m), 3.94 (1H, m), 4.56 (1H, brs), 6.68 (1H, brs), 6.88 (1H, dd, *J* 8, 1.5 Hz), 7.13 (1H, d, *J* 7.5 Hz), 7.29-7.34 (1H, m), 7.38-7.43 (1H, m) and 7.54-7.58 (1H, m).
44a	4-Cl-C_6H_4	IR ν_{max} (Nujol)/cm^{-1} 1691, 1459, 1377, 1171, 1055, 832 and 801; NMR δ_H (400 MHz, $CDCl_3$) 1.14 (3H, d, *J* 6.5 Hz) 1.45 (9H, s) 4.02-4.49 (7H, m) 6.51 (1H, d, *J* 3 Hz) 7.06-7.12 (2H, m) 7.42 (1H, brs) 7.54 (1H, d, *J* 9 Hz).
45a	4-F-C_6H_4	IR ν_{max} (Nujol)/cm^{-1} 1679, 1530, 1168, 1064, 838 and 805; NMR δ_H (400 MHz, $CDCl_3$) 1.27 (3H, d, J 6 Hz), 1.41 (9H, s), 3.0-3.15 (3H, m), 3.95 (1H, brs), 6.63 (1H, brs), 6.81-6.85 (1H, m), 7.05-7.13 (3H, m), and 7.50-7.53 (2H, m).
46a	4-OMe-C_6H_4	IR ν_{max} (Nujol)/cm^{-1} 1694, 1609, 1518, 1365, 1245, 1177, 833 and 804; NMR δ_H (400 MHz, $CDCl_3$) 1.25 (3H, d, *J* 6 Hz), 1.41 (9H, s), 2.98-3.03 (1H, m), 3.1-3.13 (1H, m), 3.42-3.53 (2H, m), 3.84 (3H, s), 4.58 (1H, brs), 6.63 (1H, brs), 6.82-6.85 (1H, m), 6.94 (2H, d, *J* 8.5 Hz), 7.09 (1H, d *J* 7 Hz) and 7.49 (2H, d, *J* 8.5 Hz).
47a	3-pyridinyl	IR ν_{max} (Nujol)/cm^{-1} 1690, 1526, 1458, 1176, 1053 and 788; NMR δ_H (400 MHz, $CDCl_3$) 1.28 (3H, d, *J* 6.5 Hz), 1.43 (9H, s), 3.07 (1H, t, *J* 8.5 Hz), 3.14-3.20 (2H, m), 3.49-3.62 (2H, m), 3.95-4.01 (1H, m), 4.57 (1H, brs), 6.66 (1H, brs), 7.84 (1H, d, *J* 7 Hz), 7.18 (1H, d, *J* 7 Hz), 7.35-7.39 (1H, m), 7.90 (1H, dt, *J* 7.5, 1.5 Hz), 8.59-8.64 (1H, m) and 8.85 (1H, brs).

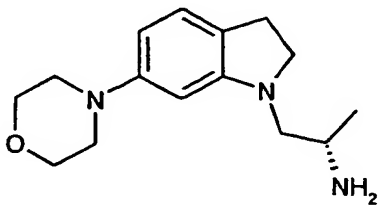
48a	3-thiophenyl	IR ν_{max} (Nujol)/cm^{-1} 1686, 1514, 1357, 1172, 1080 and 775; NMR δ_H (400 MHz, $CDCl_3$) 1.28 (3H, d, *J* 6 Hz), 1.45 (9H, s), 3.03 (2H, t, *J* 8.5 Hz), 3.12-3.16 (2H, m), 3.44-3.50 (2H, m), 3.93-4.0 (1H, m), 4.59 (1H, brs), 6.73 (1H, brs), 6.29 (1H, dd, *J* 7, 1.5 Hz) 7.11 (1H, d, *J* 7 Hz), 7.36-7.38 (2H, m) and 7.41-7.43 (1H, m).

Table 11: **Examples 43-48.** Indolines prepared using General Method C, step (b)

No		**Data**
43	Ph	Fumarate. mp 153-154 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6 Hz), 2.90-2.98 (2H, m), 3.06 (1H, dd, *J* 13, 5 Hz), 3.23-3.45 (2H, m), 3.50-3.56 (2H, m), 6.84 (1H, d, *J* 1.5 Hz), 6.87 (1H, dd, *J* 7.5, 1.5 Hz), 7.11 (1H, d, *J* 7.5 Hz), 7.28-7.33 (2H, m), 7.38-7.43 (1H, m) and 7.58-7.62 (1H, m).
44	4-Cl-C_6H_4	Fumarate. mp 171-173 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.26 (3H, d, J 6.5 Hz), 2.93-2.99 (2H, m), 3.07-3.13 (2H, m), 3.29-3.49 (2H, m), 3.521-3.60 (1H, m), 6.88-6.91 (2H, m), 7.13 (1H, d, J 7 Hz), 7.48 (2H, d, J 9 Hz) and 7.67 (2H, d, J 9 Hz).
45	4-F-C_6H_4	Fumarate. mp 148-149 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6 Hz), 3.05 (1H, dd, *J* 13, 5 Hz), 3.27-3.56 (6H, m), 6.80-6.86 (2H, m), 7.09 (1H, d, *J* 6.5 Hz), 7.23 (2H, t, *J* 8 Hz) and 7.60-7.66 (2H, m).
46	4-OMe-C_6H_4	Fumarate. mp 174-176 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.19 (3H, d, *J* 6.5 Hz), 2.87-2.95 (2H, m), 3.18-3.57 (5H, m), 3.79 (3H, s), 6.78 (1H, brs), 6.82 (1H, dd, *J* 7, 1 Hz), 6.99 (2H, d, *J* 8.5 Hz), 7.08 (1H, d, *J* 8 Hz) and 7.55 (2H, d, *J* 8.5 Hz).

47	3-pyridinyl	Fumarate. mp 155 °C (dec.); NMR δ_H (400 MHz, DMSO-d_6) 1.27 (3H, d, *J* 6 Hz), 2.93-3.02 (2H, m), 3.12 (1H, dd, *J* 13, 4.5 Hz), 3.32-3.60 (4H, m), 6.93-6.96 (1H, m), 7.17 (1H, d, *J* 8 Hz), 7.43-7.47 (1H, m), 8.01-8.06 (1H, m), 8.52-8.55 (1H, m) and 8.86-8.88 (1H, brs).
48	3-thiophenyl	Fumarate. mp 182-186 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.27 (3H, d, *J* 6 Hz), 2.91-2.99 (2H, m), 3.09 (1H, dd, *J* 13, 5.5 Hz), 3.28-3.58 (5H, m), 6.94-6.98 (1H, m), 7.08 (1H, d, *J* 8 Hz), 7.59 (1H, dd, *J* 5, 3 Hz), 7.53 (1H, dd, *J* 5, 1.5 Hz) and 7.78-7.80 (1H, m).

Example 49: (*S*)-1-[6-(4-Morpholinyl)indolin-1-yl]-2-propylamine fumarate



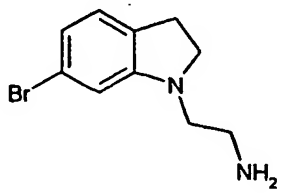
(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-(4-morpholinyl)indoline

A mixture of palladium(II)acetate (0.004 g, 0.016 mmol), BINAP (0.01 g, 0.016 mmol), cesium carbonate (0.15 g, 0.45 mmol), toluene (2 mL), (*S*)-1-[2-(tert-butoxycarbonylamino)propyl-6-bromoindoline (0.11 g, 0.32 mmol) and morpholine (0.04 mL, 0.38 mmol) under argon was heated at 100 °C for 16 h, concentrated *in vacuo* and purified by column chromatography [SiO_2; isopropyl ether-heptane (1:1)] to give the product (0.05 g, 45% yield) as a pale yellow oil: IR ν_{max} (Nujol)/cm^{-1} 1678, 1615, 1522, 1459, 810 and 767; NMR δ_H (400 MHz, $CDCl_3$) 1.26 (3H, d, *J* 6 Hz), 1.47 (9H, s), 2.94 (1H, t, *J* 7 Hz), 3.06 (1H, dd, *J* 10, 5 Hz), 3.11-3.18 (4H, m), 3.37-3.55 (2H, m), 3.82-3.95 (5H, m), 4.60 (1H, brs), 6.17-6.26 (2H, m) and 6.99 (1H, d, *J* 7.5 Hz).

(*S*)-1-[6-(4-Morpholinyl)indolin-1-yl]-2-propylamine fumarate

(*S*)-1-[6-(4-Morpholinyl)indolin-1-yl]-2-propylamine fumarate was prepared according to the method in Example 10 using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-(4-morpholinyl)indoline to give the product (0.02 g, 43%) as a beige solid: mp 188-191 °C (dec); IR ν_{max} (Nujol)/cm^{-1} 1744, 1649, 1576, 1457, 1309, 1175, 984, 784 and 643.

Example 50: 2-(6-Bromoindolin-1-yl)-1-ethylamine fumarate



2-(6-Bromoindol-1-yl)-1-ethylamine fumarate

To a stirred mixture of powdered sodium hydroxide (0.41 g, 10.2 mmol), tetrabutylammonium hydrogensulfate (0.034 g, 0.1 mmol), 6-bromoindole (0.5 g, 2.5 mmol) and acetonitrile (15 mL) was added 2-chloroethylamine hydrochloride (0.31 g, 2.75 mmol). The mixture heated under reflux for 16 h and partitioned between water (30 mL) and ether (2 x 30 mL). The combined organic extracts were washed with brine (2 x), dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; ethyl acetate-methanol-0.880 ammonia solution (90:9:1)] to give a pale brown oil. The oil was dissolved in 2-propanol (10 mL) and the solution was heated to reflux, fumaric acid (0.29 g, 2.5 mmol) was added and the mixture was cooled to room temperature and filtered. The filter-cake was dried *in vacuo* to give the product (0.72 g, 81% yield) as a white solid: mp 214-216 °C; NMR δ_H (400 MHz, DMSO-d_6) 3.08 (2H, t, *J* 8 Hz), 4.33 (2H, t, *J* 8 Hz), 6.47-6.52 (1H, m), 7.14-7.19 (1H, m), 7.41-7.44 (1H, m), 7.52 (1H, d, *J* 6.5 Hz) and 7.82 (1H, brs).

1-[2-(tert-Butoxycarbonylamino)ethyl]-6-bromoindole

To a stirred mixture of 2-(6-bromoindole-1-yl)-1-ethylamine fumarate (1.4 mmol), tert-butanol (3 mL), water (3 mL) and powdered sodium hydroxide (0.22 g, 5.5 mmol) was addded di-tert-butyl-dicarbonate (0.3 g, 1.4 mmol). The mixture was stirred for 16 h

and partitioned between water (20 mL) and ethyl acetate (2 x 30 mL). The organic extracts were combined, washed with brine (2 x), dried (magnesium sulfate), concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (5:1)] to give the product (0.25 g, 53% yield) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 1683, 1528, 1459, 1303, 1164, 1060 and 717; NMR δ_H (400 MHz, $CDCl_3$) 1.44 (9H, s), 3.45-3.51 (2H, m), 4.25-4.30 (2H, m), 4.53 (1H, brs), 6.73 (1H, d, *J* 3 Hz), 7.05 (1H, d, *J* 3 Hz), 7.20 (1H, dd, *J* 7.5, 2 Hz) and 7.50 (1H, d, *J* 7.5 Hz).

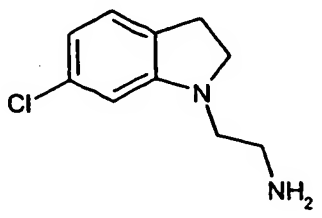
1-[2-(tert-Butoxycarbonylamino)ethyl]-6-bromoindoline

1-[2-(tert-Butoxycarbonylamino)ethyl]-6-bromoindoline was prepared according to General Method B, step (b) using 1-[2-(tert-butoxycarbonylamino)ethyl]-6-bromoindole to give the product 0.19 g (93% yield) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 1684, 1603, 1532, 1302, 984 and 781; NMR δ_H (400 MHz, $CDCl_3$) 1.46 (9H, s) 2.92 (2H, t, *J* 8 Hz) 3.17 (2H, t, *J* 6 Hz) 3.31-3.36 (1H, m) 3.4 (2H, t, *J* 8 hz) 4.78 (1H, brs), 6.57 (1H, brs) 6.75 (1H, dd, *J* 7.5, 2 Hz) and 6.90-6.95 (1H, m).

2-(6-Bromoindolin-1-yl)-1-ethylamine fumarate

2-(6-Bromoindolin-1-yl)-1-ethylamine fumarate was prepared according to General Method B, step (c) using 1-[2-(tert-butoxycarbonylamino)ethyl]-6-bromoindole to give the product 0.14 g (73% yield) as a white solid: mp 203-206 °C; NMR δ_H (400 MHz, DMSO-d_6) 2.80-2.90 (2H, m) 3.14-3.17 (2H, m) 3.35-3.41 (4H, m) 6.64-6.69 (2H, m) and 6.93 (1H, d, *J* 8 Hz).

Example 51: 2-(6-Chloroindolin-1-yl)-1-ethylamine fumarate



2-(6-Chloroindol-1-yl)-1-ethylamine fumarate

2-(6-Chloroindol-1-yl)-1-ethylamine fumarate was prepared according to the method described in Example 50 using 6-chloroindole to give the product (1.34 g, 64% yield) as a colourless solid: mp. 210-213 °C; NMR δ_H (400 MHz, $CDCl_3$) 3.01 (2H, t, *J* 6.5 Hz), 4.26 (2H, t, *J* 6.5 Hz), 6.46-6.48 (2H, m), 7.02 (1H, dd, *J* 8, 1.5), 7.41 (1H, d, *J* 3 Hz) 7.54 (1H, d, *J* 8 Hz) and 7.65-7.66 (1H, m).

1-[2-(tert-Butoxycarbonylamino]ethyl)-6-chloroindole

1-[2-(tert-Butoxycarbonylamino]ethyl)-6-chloroindole was prepared according to the method described in Example 50 using 2-(6-chloroindol-1-yl)-1-ethylamine fumarate to give the product (1.02 g, 86% yield) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 1686, 1611, 1538, 1467, 1279, 1143, 796 and 718; NMR δ_H (400 MHz, $CDCl_3$) 1.45 (9H, s), 3.45-3.51 (2H, m), 4.21-4.27 (2H, m), 4.54 (1H, brs), 6.49 (1H, brs), 7.05-7.09 (2H, m), 7.34 (1H, s) and 7.53 (1H, d, *J* 8.5 Hz).

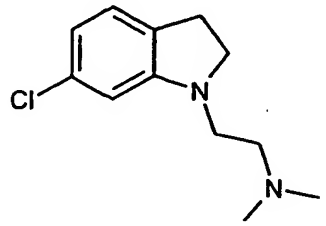
1-[2-(tert-Butoxycarbonylamino]ethyl)-6-chloroindoline

1-[2-(tert-Butoxycarbonylamino]ethyl)-6-chloroindoline was prepared according to General method B, step (b) using 1-[2-(tert-butoxycarbonylamino]ethyl)-6-chloroindole to give the product 0.75 g (75 % yield) as a colourless solid: IR ν_{max} (Nujol)/cm^{-1} 1684, 1606, 1533, 1362, 1165 and 782; NMR δ_H (400 MHz, $CDCl_3$) 1.43 (9H, s), 2.92 (2H, t, *J* 8 Hz), 3.15 (2H, t, *J* 6 Hz), 3.28-3.35 (1H, m), 3.40 (2H, t, *J* 8 Hz), 4.76 (1H, brs), 6.38-6.40 (1H, s), 6.56-6.59 (1H, m) and 6.90-6.93 (1H, m).

2-(6-Chloroindolin-1-yl)-1-ethylamine fumarate

2-(6-Chloroindolin-1-yl)-1-ethylamine fumarate was prepared according to General Method B, step (c) using 1-[2-(tert-butoxycarbonylamino]ethyl)-6-chloroindoline to give the product 0.39 g (55% yield) as a white solid: mp 195-196 °C; NMR δ_H (400 MHz, DMSO d_6) 2.88 (2H, t, *J* 8.5 Hz), 2.98 (2H, t, *J* 6 Hz), 3.28 (2H, t, *J* 6 Hz), 3.41 (2H, t, *J* 8.5 Hz), 6.55-6.59 (2H, m) and 6.99 (1H, d, *J* 7.5 Hz).

Example 52: N,N-Dimethyl-2-(6-Chloroindolin-1-yl)-1-ethylamine fumarate



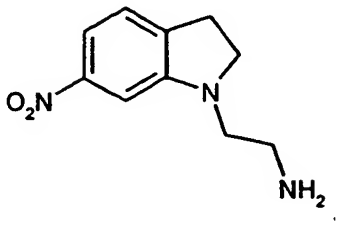
N,N-Dimethyl-2-(6-chloroindol-1-yl)-1-ethylamine fumarate

N,N-Dimethyl-2-(6-chloroindol-1-yl)-1-ethylamine fumarate was prepared according to the method described in Example 50 using 6-chloroindole and 1-chloro-2-(dimethylamino)ethane to give the product (0.5 g, 22% yield) as a white solid: mp 163-165 °C; NMR δ_H (400 MHz, $CDCl_3$) 2.93 (6H, s), 3.37 (2H, t, *J* 6.5 Hz), 4.95 (2H, t, *J* 6.5 Hz), 7.13 (1H, d, J 3 Hz), 7.68-7.72 (1H, m), 8.11 (1H, d, *J* 3 Hz), 8.22 (1H, d, *J* 8 Hz) and 8.29-8.31 (1H, m).

N,N-Dimethyl-2-(6-chloroindolin-1-yl)-1-ethylamine fumarate

N,N-Dimethyl-2-(6-chloroindolin-1-yl)-1-ethylamine fumarate was prepared according to General Method B, step (b) using *N,N*-dimethy-2-(6-chloroindol-1-yl)-1-ethylamine fumarate to give the product 0.19 g (27% yield) as a colourless solid: mp 144-146 °C; NMR δ_H (400 MHz, DMSO-d_6) 2.41 (6H, s), 2.72 (2H, t, *J* 7 Hz), 2.88 (2H, t, *J* 8 Hz), 3.27 (2H, t, *J* 7 Hz), 3.43 (2H, t, *J* 8 Hz), 6.55 (1H, dd, *J* 7.5, 2.5 Hz), 6.58 (1H, brs) and 6.99 (1H, d, *J* 7.5 Hz).

Example 53: 2-(6-Nitroindolin-1-yl)-1-ethylamine fumarate



1-(6-Nitroindolin-1-yl)-acetonitrile

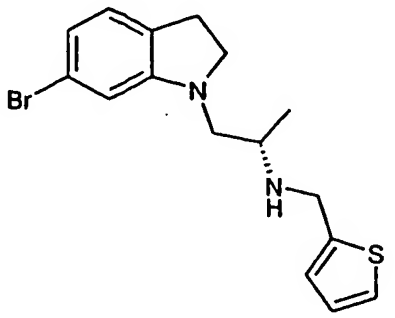
A stirred mixture of 6-nitroindoline (2.0 g, 12 mmol), potassium carbonate (3.36 g, 24 mmol), sodium iodide (3.65 g, 24.4 mmol), acetone (20 mL) and chloroacetonitrile (1.5 mL, 24 mmol) was heated under reflux for 16 h. The mixture was cooled to room temperature, filtered and the filter-cake washed with ethyl acetate. The filtrate was concentrated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (9:1)] to give the product (1.3 g, 53% yield) as a pale yellow solid: IR ν_{max} (Nujol)/cm^{-1} 1615, 1513, 1487, 1343, 1293, 1145, 804 and 739; NMR δ_H (400 MHz, $CDCl_3$) 3.11 (2H, t, *J* 7.5 Hz), 3.59 (2H, t, *J* 7.5 Hz), 4.14 (2H, s), 7.19-7.23 (1H, m), 7.31-7.33 (1H, m) and 7.69-7.72 (1H, m).

2-(6-Nitroindolin-1-yl)-1-ethylamine fumarate

Borane-dimethylsulfide complex (0.25 mL, 2.6 mmol) was added dropwise to a stirred solution of 1-(6-nitroindolin-1-yl)-acetonitrile (0.38 g, 1.9 mmol) in tetrahydrofuran (10 mL) under Ar. The mixture was heated under reflux for 4 h then cooled to room temperature and stirred for 16 h. The mixture was cooled to 0 °C, hydrochloric acid (3 M, 10 mL) was added and the mixture was heated under reflux for 1 h. The mixture was cooled to room temperature and washed with ethyl acetate (2 x 10 mL). The aqueous layer was partitioned between aqueous sodium hydroxide solution (2 M, 20 mL) and dichloromethane (3 x 30 mL). The combined dichloromethane extracts were dried (magnesium sulfate) and concentrated *in vacuo* to give a pale yellow oil. The oil was dissolved in 2-propanol (3 mL) and the solution was heated to reflux then fumaric acid (0.1 g, 0.87 mmol) was added. The mixture was cooled to room temperature and

filtered. The filter-cake was dried *in vacuo* to give the product (0.36 g, 59% yield) as a white solid: mp 197 °C (dec.); NMR δ_H (400 MHz, DMSO-d_6) 2.99 (2H, t, *J* 7 Hz), 3.09 (2H, t, *J* 7 Hz), 3.38 (2H, t, *J* 7.5 Hz), 3.54 (2H, t, *J* 8.5 Hz), 6.44 (2H, s), 7.23-7.27 (2H, m) and 7.48 (1H, dd, *J* 8, 2 Hz).

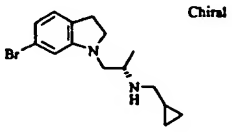
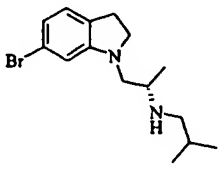
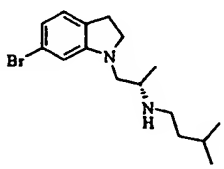
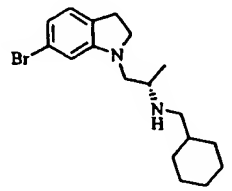
Example 54: (*S*) *N*-(2-thiophenyl)methyl-1-(6-bromoindolin-1-yl)-2-propylamine hydrochloride

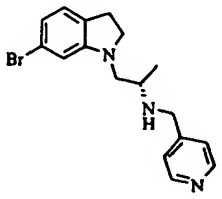


A mixture of (*S*)-(6-bromoindolin-1-yl)-2-propylamine (0.039 g, 0.15 mmol), thiophene-2-carboxaldehyde (0.034 g, 0.30 mmol) and methanol (1 mL) was shaken for 3 h. To the mixture was added Amberlite IRA-400 borohydride resin (2.5 mmol/g – BH_4, 0.12 g, 0.3 mmol) and the mixture was shaken for 18 h. To the mixture was added PS-benzaldehyde (2.5 mmol/g –CHO, 0.12 g, 0.3 mmol) and the mixture was shaken for 18 h and filtered. The filter-cake was washed with dichloromethane (2 x 1 mL) and methanol (2 x 1 mL) and the filtrate was concentrated *in vacuo*. The concentrate was dissolved in dichloromethane (2 mL) and Amberlyst-15 (0.5 g) was added. The mixture was shaken for 1 h and filtered. The filter-cake was washed with dichloromethane (2 x 1 mL) and methanol (2 x 1 mL), suspended in methanolic ammonia solution (2 M, 1 mL, 2 mmol), shaken for 1 h, and filtered. The filter-cake was washed (dichloromethane) and the filtrate was concentrated *in vacuo*. The residue was treated with ethereal hydrogen chloride solution (1 M, 1 mL, 1 mmol) and concentrated *in vacuo* to give the product as a beige solid (0.037 g, 63%): mp 151-154 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.37 (3H, d, *J* 6.5 Hz) 2.92 (2H, m) 3.15 (1H, dd, *J* 6,14 Hz) 3.31 (1H, q, *J* 9 Hz) 3.46 (2H, m) 3.55 (1H, m) 4.47 (2H, m) 6.79 (1H, d, *J* 7.5 Hz) 6.80 (1H, s) 6.99 (1H, d, *J* 8 Hz) 7.13 (1H, m) 7.41 (1H, d, *J* 2.5 Hz) 7.66 (1H, d, *J* 5 Hz).

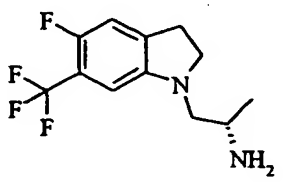
The compounds shown in Table 12 were prepared from (*S*)-(6-bromoindolin-1-yl)-2-propylamine and the appropriate aldehyde according to the method described in Example 54.

Table 12: **Examples 55-59.** Indolines prepared according to the method described in Example 54.

No	Structure	Data
55		HCl. mp 155-156 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.38 (2H, dd, *J* 2, 4.5 Hz), 0.57 (2H, t, *J* 7.5 Hz), 1.12 (1H, m), 1.28 (3H, d, *J* 6.5 Hz), 2.81 (1H, m), 2.90 (2H, t, *J* 8 Hz), 2.92 (1H, m), 3.16 (1H, m), 3.35 (1H, q, *J* 8.5 Hz), 3.50 (3H, m), 6.73 (1H, dd, *J* 1.5, 7.5 Hz), 6.80 (1H, d, *J* 1.5 Hz), 6.97 (1H, d, *J* 7.5 Hz).
56		HCl. mp 151-153 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.98 (6H, dd, *J* 1, 6.5 Hz), 1.32 (3H, d, *J*, 6.5 Hz), 2.05 (1H, sept., *J* 6.5 Hz), 2.82 (2H, q, *J*, 6.5 Hz), 2.92 (2H, t, *J* 8.5 Hz), 3.19 (1H, q, *J* 6.5 Hz), 3.38 (1H, q, *J* 8.5 Hz), 3.54 (3H, m), 6.75 (1H, dd, *J*, 1.5, 7.5 Hz), 6.82 (1H, d, *J* 1.5 Hz), 6.99 (1H, d, *J* 7.5 Hz).
57		HCl. mp 161-163 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.91 (6H, dd, *J*, 1, 6.5 Hz), 1.31 (3H, d, *J* 6.5 Hz), 1.56 (2H, m), 1.65 (1H, sept, *J* 6.5 Hz), 2.92 (4H, m), 3.16 (1H, dt, *J* 5, 17.5 Hz), 3.47 (1H, q, *J* 9 Hz), 3.49 (1H, m), 3.53 (2H, m), 6.75 (1H, dd, *J*, 1.5, 7.5 Hz), 6.82 (1H, d, *J* 1.5 Hz), 6.99 (1H, *J* 7.5 Hz).
58		2HCl. mp 208-210 °C; NMR δ_H (400 MHz, DMSO-d_6) 0.98 (2H, m), 1.20 (3H, m), 1.30 (3H, d, *J* 6.5 Hz), 1.71 (6H, m), 2.81 (2H, q, *J* 6.5 Hz), 2.91 (2H, t, *J* 8.5 Hz), 3.16 (1H, dd, *J* 6, 13 Hz), 3.39 (1H, q, *J* 8.5 Hz), 3.51 (3H, m), 6.75 (1H,

		dd, *J* 1.5, 7.5 Hz), 6.82 (1H, d, *J* 1.5 Hz), 6.99 (1H, d, *J* 7.5 Hz).
59		2HCl. mp 202-204 °C; NMR δ_H (400 MHz, DMSO-d_6) 1.42 (3H, d, *J* 6.5 Hz), 2.92 (2H, m), 3.24 (1H, dd, *J* 6.5, 14 Hz), 3.38 (1H, q, *J* 9 Hz), 3.54 (2H, m), 3.68 (1H, q, *J* 7 Hz), 4.47 (1H, d, *J* 14 Hz), 4.58 (1H, d, *J* 14 Hz), 6.76 (1H, dd, *J*, 2, 8 Hz), 6.86 (1H, d, *J* 2 Hz), 6.99 (1H, d, *J* 8 Hz), 8.21 (2H, d, *J* 6.5 Hz), 8.94 (2H, d, *J* 6.5 Hz).

Example 60: (*S*)-1-(5-Fluoro-6-trifluoromethylindolin-1-yl)-2-propylamine fumarate



4-Fluoro-3-iodo-6-methylnitrobenzene

A solution of sodium nitrite (3.6 g) in water (20 mL) was added dropwise over 10 min to a stirred suspension of 2-fluoro-4-methyl-5-nitroaniline (8.5 g, 50 mmol) in concentrated hydrochloric acid (100 mL) at 0 °C. After a further 20 min at 0 °C the mixture was added over 5 min to a solution of potassium iodide (9.1 g, 55 mmol) in water (30 mL) keeping the internal temperature below 20 °C. After complete addition, the mixture was warmed to room temperature and stirred for 2 h then poured into water (500 mL) and extracted with ether (3 x 200 mL). The combined organic extracts were washed with saturated aqueous sodium thiosulfate solution (500 mL), dried (magnesium sulfate), filtered and concentrated *in vacuo* to leave the product as an orange oil. (400 MHz; $CDCl_3$) δ_H 8.41 (1H, d, *J* 6 Hz), 7.02 (1H, d, *J* 8 Hz), 2.59 (3H, s); GC (25 m Quartz/Bonded Phase I; Injection Temperature 250 °C; Detector Temperature 320 °C; Temperature Ramp Rate: 100 to 320 °C at 10 °C/min; Carrier Gas Helium; Flow Rate 12 mL/min) Retention Time: 5.92 min.

5-Fluoro-6-iodoindole

N,N-Dimethylformamide dimethylacetal (16.5 mL, 125 mmol) was added in one portion to a stirred solution of 4-fluoro-3-iodo-6-methylnitrobenzene (14.1 g, 50 mmol) in *N,N*-dimethylformamide (50 mL) at 130 °C under Ar. The mixture was stirred at 130 °C for 10 min then another aliquot of *N,N*-dimethylformamide dimethylacetal (10 mL) was added in one portion. The mixture was stirred at 130 °C for a further 10 min then another aliquot of *N,N*-dimethylformamide (6 mL) was added in one portion. The mixture was stirred at 130 °C for 10 min then poured into water (400 mL) and extracted with ethyl acetate (3 x 150 mL). The combined organic extracts were washed with water (200 mL) and brine (200 mL) then dried (magnesium sulfate), filtered and concentrated *in vacuo* to leave a solid. The solid was dissolved in acetic acid, ethanol (1:1; 240 mL) and iron powder (33.2 g, 600 mmol) was added in one portion. The mixture was placed under an atmosphere of Ar, heated to 90 °C and stirred for 15 min (CARE: VIGOROUS REACTION – COOLING MAY BE REQUIRED). After cooling to room temperature the mixture was filtered through celite and the filtrate was concentrated *in vacuo* to leave a crude oil. The oil was purified by column chromatography [SiO_2; dichloromethane, heptane (1:4 to 2:3)] to give the product (4.8 g, 37%, 3 steps from 2-fluoro-4-methyl-5-nitroaniline) as a green oil: NMR δ_H (400 MHz; $CDCl_3$) 8.18 (1H, br. s), 7.75 (1H, d, *J* 5 Hz), 7.32 (1H, d, *J* 8.5 Hz), 7.22-7.23 (1H, m), 6.50-6.52 (1H, m); GC (25 m Quartz/Bonded Phase I; Injection Temperature 250 °C; Detector Temperature 320 °C; Temperature Ramp Rate: 100 to 320 °C at 10 °C/min; Carrier Gas Helium; Flow Rate 12 mL/min) Retention Time: 8.65 min.

(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-iodoindole

(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-iodoindole was prepared according to General Method B, step (a) using 5-fluoro-6-iodoindole and (*S*)- 2-(tert-butoxycarbonylamino)propane methanesulfonate to give the product (1.0 g, 57%) as a white solid: IR ν_{max} (Nujol)/cm^{-1} 3360, 2925, 2854, 1682, 1565, 1531, 1460, 1402, 1377, 1366, 1345, 1325, 1292, 1251, 1228, 1204, 1172, 1141, 1119, 1100, 1063, 1030, 974, 893, 859, 850, 812, 747, 721, 709, 655 and 596; δ_H (400 MHz; $CDCl_3$) 7.72 (1H, d,

J 4.5 Hz), 7.27 (1H, d, *J* 8.6 Hz), 7.06 (1H, d, *J* 3.5 Hz), 6.42 (1H, d, *J* 3.5 Hz), 4.36 (1H, br. s), 3.97-4.20 (3H, m), 1.41 (9H, s), 1.10 (3H, d, *J* 6.5 Hz).

(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-trifluoromethylindole

Methyl 2-chloro-2,2-difluoroacetate (3.0 ml, 28 mmol) was added in one portion to a stirred suspension of (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-5-fluoro-6-iodoindole (0.6 g, 1.4 mmol), copper(I)iodide (2.8 g, 14 mmol) and potassium fluoride (0.86 g, 14 mmol) in *N*,*N*-dimethylformamide (10 mL) under Ar. The mixture was heated to 120 °C and stirred for 2 h then poured into ethyl acetate (100 mL) and filtered through celite. The filtrate was concentrated *in vacuo* and purified by column chromatography [SiO_2; ethyl acetate-heptane (1:9)] to give the product (0.44 g, 84%) as a white solid: δ_H (400 MHz; $CDCl_3$) 7.62 (1H, m), 7.33 (1H, d, *J* 11 Hz), 7.23 (1H, d, *J* 3.0 Hz), 6.50 (1H, d, *J* 3.0 Hz), 4.37 (1H, br. s), 3.99-4.27 (3H, m), 1.37 (9H, s), 1.11 (3H, d, *J* 6.5 Hz); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol, 10 mM aqueous ammonium acetate solution (4:1); Flow Rate 1.0 mL/min; Detection Wavelength λ = 230 nM) Retention Time: 3.91 min.

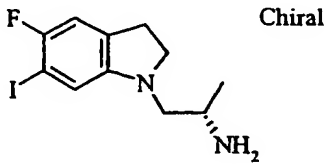
(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-trifluoromethylindoline

(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-trifluoromethylindoline was prepared according to General Method B, step (b) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-5-fluoro-6-trifluoromethylindole as a white solid (0.25 g, 45 % yield): IR ν_{max} (Nujol)/cm^{-1} 6785, 3332, 2924, 2854, 1698, 1681, 1645, 1626, 1604, 1540, 1505, 1460, 1440, 1378, 1363, 1345, 1302, 1285, 1264, 1236, 1203, 1158, 1124, 1058, 1034, 1022, 984, 890, 870, 849, 799, 778, 751, 727 and 675; δ_H (400 MHz; $CDCl_3$) 6.85 (1H, d, *J* 9.6 Hz), 6.48 (1H, d, *J* 5 Hz), 4.45 (1H, br. s), 3.84-3.97 (1H, m), 3.48 (1H, dd, *J* 16.5 Hz, 8.7 Hz), 3.40 (1H, dd, *J* 16.5 Hz, 8.3 Hz), 2.95-3.04 (4H, m), 1.39 (9H, s), 1.19 (3H, d, *J* 6.9 Hz).

(*S*)-1-(5-Fluoro-6-trifluoromethylindolin-1-yl)-2-propylamine fumarate

(*S*)-1-(5-Fluoro-6-trifluoromethylindolin-1-yl)-2-propylamine fumarate was prepared according to General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-5-fluoro-6-trifluoromethylindoline as a white solid (0.08 g, 35%): mp 190-192 °C; IR ν_{max} (Nujol)/cm^{-1} 2923, 2854, 2535, 1710, 1627, 1501, 1454, 1398, 1378, 1346, 1285, 1234, 1162, 1121, 1041, 976, 877, 847, 798, 728, 652 and 590; δ_H (400 MHz, DMSO-d_6) 7.19 (1H, d, *J* 10.1 Hz), 6.81 (1H, d, *J* 5.4 Hz), 6.44 (2H, s), 3.59-3.63 (1H, m), 3.28-3.38 (3H, m), 2.91-3.06 (3H, m), 1.23 (3H, d, *J* 5.5 Hz).

Example 61: (*S*)-1-(5-Fluoro-6-iodoindolin-1-yl)-2-propylamine fumarate



Chiral

(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl)-5-fluoro-6-iodoindoline

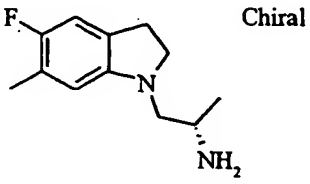
(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl)-5-fluoro-6-iodoindoline was prepared according to General Method B, step (b) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl)-5-fluoro-6-iodoindole as a white solid (1.6 g, 78%): IR ν_{max} (Nujol)/cm^{-1} 3343, 2925, 2854, 1698, 1679, 1646, 1604, 1583, 1535, 1498, 1469, 1405, 1390, 1378, 1363, 1291, 1265, 1253, 1228, 1172, 1129, 1113, 1053, 1034, 1016, 973, 954, 929, 892, 875, 850, 820, 776, 750, 726, 644, 598 and 593; NMR δ_H (400 MHz; $CDCl_3$) 6.77 (1H, d, *J* 7.2 Hz), 6.67 (1H, d, *J* 4.9 Hz), 4.48 (1H, br. s), 3.81-3.93 (1H, m), 3.39-3.48 (2H, m), 2.91-2.99 (4H, m), 1.43 (9H, s), 1.20 (3H, d, *J* 6.9 Hz).

(*S*)-1-(5-Fluoro-6-iodoindolin-1-yl)-2-propylamine fumarate

(*S*)-1-(5-Fluoro-6-iodoindolin-1-yl)-2-propylamine fumarate was prepared according to General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl)-5-fluoro-6-iodoindoline as a white solid (0.12 g, 55%): mp 185-187 °C; IR ν_{max} (Nujol)/cm^{-1} 3432, 3199, 2925, 2855, 2538, 1971, 1695, 1657, 1626, 1561, 1487, 1466, 1402, 1377,

1365, 1292, 1255, 1224, 1203, 1178, 1132, 1087, 1045, 1011, 980, 958, 944, 896, 859, 794, 735 and 647; NMR δ_H (400 MHz; DMSO-d_6) 6.99 (1H, d, *J* 8.2 Hz), 6.92 (1H, d, *J* 5.0 Hz), 6.46 (2H, s), 3.51-3.53 (1H, m), 3.21-3.31 (3H, m), 2.88-3.02 (3H, m), 1.22 (3H, d, *J* 6.5 Hz).

Example 62: (*S*)-1-(5-Fluoro-6-methylindolin-1-yl)-2-propylamine fumarate



(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-5-fluoro-6-methylindoline

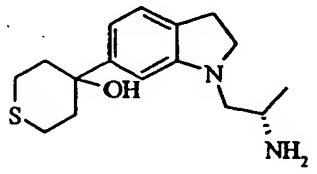
Triphenylphosphine (66 mg, 0.2 mmol) was added in one portion to a stirred solution of palladium(II) acetate (18 mg, 0.06 mmol) in tetrahydrofuran (2.5 mL) under Ar. The mixture was stirred for 5 min then a solution of (*S*)-1-[2-(tert-butoxycarbonylamino)propyl)-5-fluoro-6-iodoindoline (0.45 g, 1.1 mmol) in tetrahydrofuran (7.5 mL) was added in one portion. The mixture was stirred for 10 min then tetramethyltin (2.0 g, 11 mmol) was added in one portion. The mixture was heated to reflux and stirred for 168 h. After cooling to room temperature, the mixture was poured into an aqueous solution of potassium fluoride (50 mL) and extracted with ethyl acetate (2 x 30 mL). The combined organic extracts were dried (magnesium sulfate), filtered and concentrated *in vacuo* to leave a crude oil. The oil was purified by column chromatography [SiO_2; ethyl acetate-heptane (1:19)] to give the product (0.17 g, 50%) as a yellow solid; NMR δ_H (400 MHz; $CDCl_3$) 6.74 (1H, d, *J* 9 Hz), 6.22 (1H, d, *J* 5.9 Hz), 4.57 (1H, br. s), 3.82-3.91 (1H, m), 3.31-3.40 (2H, m), 2.89-2.99 (4H, m), 2.05 (3H, s), 1.44 (9H, s), 1.22 (3H, d, *J* 6.5 Hz).

(*S*)-1-(5-Fluoro-6-methylindolin-1-yl)-2-propylamine fumarate

(*S*)-1-(5-Fluoro-6-methylindolin-1-yl)-2-propylamine fumarate was prepared according to General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-5-

fluoro-6-methylindoline as a solid (0.08 g, 50%): LC Supelcosil ABZ$^+$ (170 mm x 4.6 mm: particle size 5μm), methanol/10 mM aqueous ammonium acetate, flow rate of 1.0 mL/min, λ_{det} = 254 nm, retention time = 2.64 min; NMR δ_H (400 MHz; DMSO) 6.86 (1H, d, *J* 9.5 Hz), 6.51 (2H, s), 6.45 (1H, d, *J* 6.7 Hz), 3.38-3.49 (1H, m), 3.19-3.27 (3H, m), 2.85-3.00 (3H, m), 2.15 (3H, s), 1.24 (3H, d, *J* 6.5 Hz).

Example 63: (*S*)-1-[6-(4-Hydroxytetrahydrothiopyran-4-yl)indolin-1-yl)-2-propylamine fumarate



(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-(4-hydroxytetrahydrothiopyran-4-yl)indoline

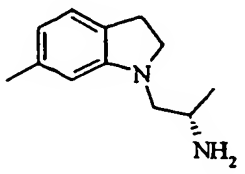
To a stirred suspension of potassium hydride (30% dispersion in mineral oil, 0.08 g, 0.60 mmol) in dry tetrahydrofuran (2 mL) at 0 °C, under argon, was added a solution of (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-bromoindoline (0.20 g, 0.60 mmol) in tetrahydrofuran (1 mL). After 15 mins, the solution was cooled to –78 °C and *tert*-butyl lithium (1.7 M, 0.68 mL, 1.2 mmol) was added dropwise. The mixture was stirred for a further 15 mins and then tetrahydrothiopyran-4-one (0.14 g, 1.2 mmol) was added portionwise. The solution was warmed gradually to room temperature, then diluted carefully with saturated ammonium chloride solution (10 mL). The mixture was extracted with ether (2 x 10 mL). The extracts were dried (magnesium sulfate), evaporated *in vacuo* and purified by column chromatography [SiO_2; heptane-ethyl acetate (2:1)] to give the product (0.20 g, 90%). δ_H (400 MHz, $CDCl_3$) 0.88 (2H, t, *J* 7 Hz), 1.24 (3H, d, J 6.5 Hz), 1.42 (9H, s), 1.99 (1H, m), 2.03 (1H, m), 2.13-2.21 (2H, m), 2.44 (1H, m), 2.48 (1H, m), 2.99 (2H, t, *J* 9 Hz), 3.06 (1H, dd, *J* 5.5, 13.5 Hz), 3.22 (2H, dt, *J* 2.5, 9 Hz), 3.50 (2H, m), 3.94 (1H, m), 6.79 (2H, m), 7.07 (1H, *J* 7.5 Hz); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol,

10 mM aqueous ammonium acetate solution (4:1); Flow Rate 1.0 mL/min; Detection Wavelength λ = 230 nM) Retention Time: 3.51 min.

(*S*)-1-[6-(4-Hydroxytetrahydrothiopyran-4-yl)indolin-1-yl)-2-propylamine fumarate

(*S*)-1-[6-(4-Hydroxytetrahydrothiopyran-4-yl)indolin-1-yl)-2-propylamine fumarate was prepared according to General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-(4-hydroxytetrahydrothiopyran-4-yl)indoline to give the product as a white solid (0.056 g, 51%). NMR δ_H (400 MHz, DMSO-d_6) 1.25 (3H, d, *J* 6.5 Hz), 1.81 (2H, m), 1.97 (2H, m), 2.36 (2H, m), 2.82 (1H, t *J* 6 Hz), 2.89 (2H, m), 2.99 (1H, dd, *J* 5.5, 14 Hz), 3.09 (2H, dt, *J* 2, 9 Hz), 3.27 (1H, m), 3.40 (1H, m), 3.47 (1H, m), 6.44 (2H, s), 6.72 (1H, brs), 6.74 (1H, dd, *J* 1.5, 7.5 Hz), 6.99 (1H, d, *J* 7.5 Hz); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol, 10 mM aqueous ammonium acetate solution (7:3); Flow Rate 1.0 mL/min; Detection Wavelength λ = 210 nM) Retention Time: 3.40 min.

Example 64: (*S*)-1-(6-Methylindolin-1-yl)-2-propylamine fumarate



(*S*)-1-[2-(tert-Butoxycarbonylamino)propyl]-6-methylindoline

To a stirred suspension of palladium(II)acetate (0.012 g, 0.05 mmol) in THF (5 mL) under Ar was added triphenylphosphine (0.058 g, 0.22 mmol). The mixture was stirred for 10 min and (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-bromoindoline (0.39 g, 1.1 mmol) was added. The mixture was stirred for 10 min and methylboronic acid (0.13 g, 2.20 mmol) in ethanol (2 mL) followed by aqueous sodium bicarbonate solution (2M, 5 mL, 10 mmol) were added. The mixture was heated to reflux for 16 h, cooled to room temperature and partitioned between ether (25 mL) and water (2 x 25 mL). The organic layer was washed with brine, dried (magnesium sulfate), concentrated *in vacuo* and

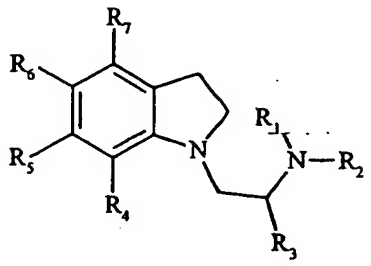
purified by column chromatography [SiO_2, heptane, ether (3:1)] to give the product as a white solid (0.07 g, 22%). δ_H (400 MHz, $CDCl_3$) 1.23 ((3H, d, *J* 6.5 Hz), 1.44 (9H, s), 2.28 (3H, s), 2.93 (2H, t, *J* 8.5 Hz), 3.04 (2H, m), 3.40 (2H, m), 6.30 (1H, s), 6.48 (1H, d, *J* 7.5 Hz), 6.96 (1H, d, *J* 7.5 Hz), (contains 25% des-methyl); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol, 10 mM aqueous ammonium acetate solution (4:1); Flow Rate 1.0 mL/min; Detection Wavelength λ = 230 nM) Retention Time: 4.18 min.

(*S*)-1-(6-Methylindolin-1-yl)-2-propylamine fumarate

(*S*)-1-(6-Methylindolin-1-yl)-2-propylamine fumarate was prepared according to General Method B, step (c) using (*S*)-1-[2-(tert-butoxycarbonylamino)propyl]-6-methylindoline to give the product as a white solid (0.053 g, 79%). δ_H (400 MHz, DMSO-d_6) 1.23 (3H, d, *J* 6.5 Hz), 2.21 (3H, s), 2.86 (2H, m), 2.97 (1H, m), 3.00 (1H, dd, *J* 5.5, 14 Hz), 3.24 (2H, m), 3.40 (1H, m), 6.45 (2H, s), 6.60 (1H, t, *J* 8 Hz), 6.94 (1H, d, *J* 7.5 Hz), 7.06 (1H, d, *J* 7.5 Hz) (contains 25% des-methyl); HPLC (Column: Supelcosil ABZ$^+$ [170 mm x 4.6 mm], particle size 5 μM; Eluent: methanol, 10 mM aqueous ammonium acetate solution (7:3); Flow Rate 1.0 mL/min; Detection Wavelength λ = 210 nM) Retention Time: 2.49 min.

CLAIMS

1. For use in therapy a chemical compound of formula (I):



(I)

wherein:

R_1 to R_3 are independently selected from hydrogen and alkyl;

R_4 to R_7 are independently selected from hydrogen, halogen, hydroxy, alkyl, aryl, heterocyclyl, alkoxy, aryloxy, alkylthio, arylthio, alkylsulfoxyl, alkylsulfonyl, arylsulfoxyl, arylsulfonyl, amino, monoalkylamino, dialkylamino, nitro, cyano, carboxaldehyde, alkylcarbonyl, arylcarbonyl, aminocarbonyl, monoalkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylamino, aminocarbonyloxy, monoalkylaminocarbonyloxy, dialkylaminocarbonyloxy, monoalkylaminocarbonylamino and dialkylaminocarbonylamino, wherein at least one of R_4 to R_7 is a substituent group other than hydrogen,

and pharmaceutically acceptable salts and prodrugs thereof.

2. A compound according to claim 1 wherein R_1 and R_2 are hydrogen.

3. A compound according to claim 1 wherein R_1 is hydrogen and R_2 is alkyl.

4. A compound according to claim 1 wherein R_1 is hydrogen and R_2 is arylalkyl.

5. A compound according to claim 1, 2, 3 or 4 wherein R_3 is alkyl.

6. A compound according to claim 1, 2, 3 or 4 wherein R_3 is methyl.

7. A compound according to any of claims 1 to 6 wherein R_4 is hydrogen or halogen.

8. A compound according to any of claims 1 to 7 wherein R_5 is selected from halogen, alkyl, aryl, alkoxy, alkylthio, monoalkylamino and dialkylamino.

9. A compound according to any preceding claim wherein R_5 is selected from alkylthio.

10. A compound according to any preceding claim wherein R_6 is selected from halogen and hydrogen.

11. A compound according to any preceding claim wherein R_7 is hydrogen.

12. A compound according to claim 1 wherein the compounds of formula (I) are selected from 1-(6-chloro-5-fluoroindolin-1-yl)-2-propylamine, 1-(5,6-difluoroindolin-1-yl)-2-propylamine, 1-(6-bromo-5-fluoroindolin-1-yl)-2-propylamine, 1-(6-bromoindolin-1-yl)-2-propylamine, 1-(6-chloroindolin-1-yl)-2-propylamine, 1-(5-fluoro-6-trifluoromethylindolin-1-yl)-2-propylamine, 1-(5-fluoro-6-methylthioindolin-1-yl)-2-propylamine, 1-(5-fluoro-6-iodoindolin-1-yl)-2-propylamine, 1-(5-fluoro-6-ethylthioindolin-1-yl)-2-propylamine, 1-(-5-fluoro-6-methylindolin-1-yl)-2-propylamine, 1-(6-methylthioindolin-1-yl)-2-propylamine, 1-(6-ethylthioindolin-1-yl)-2-propylamine, 1-(6-trifluoromethylindolin-1-yl)-2-propylamine, 1-(6-methoxyindolin-1-yl)-2-propylamine, 1-(6-propylthioindolin-1-yl)-2-propylamine, 1-(6-isopropylthioindolin-1-yl)-2-propylamine, 2-(6-chloroindolin-1-yl)-1-ethylamine, 2-(6-bromoindolin-1-yl)-1-ethylamine, 1-(5-chloroindolin-1-yl)-2-propylamine, 1-(5-fluoroindolin-1-yl)-2-propylamine and 1-(6-methylindolin-1-yl)-2-propylamine.

13. A compound according to any preceding claim wherein the compounds are selected from the (*S*)-enantiomers thereof.

14. A compound of formula (I) as set out in any one of claims 1 to 13, *per se*, wherein R_7 is selected from a group other than hydroxy.

15. A compound according to claim 14 wherein R_7 is hydrogen.

16. The use of a compound of formula (I) as set out in any of claims 1 to 13 in the manufacture of a medicament for the treatment of disorders of the central nervous system; damage to the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea.

17. A use according to claim 16 wherein the disorders of the central nervous system are selected from depression, atypical depression, bipolar disorders, anxiety disorders, obsessive-compulsive disorders, social phobias or panic states, sleep disorders, sexual dysfunction, psychoses, schizophrenia, migraine and other conditions associated with cephalic pain or other pain, raised intracranial pressure, epilepsy, personality disorders, age-related behavioural disorders, behavioural disorders associated with dementia, organic mental disorders, mental disorders in childhood, aggressivity, age-related memory disorders, chronic fatigue syndrome, drug and alcohol addiction, obesity, bulimia, anorexia nervosa and premenstrual tension.

18. A use according to claim 16 wherein the damage to the central nervous system is by trauma, stroke, neurodegenerative diseases or toxic or infective CNS diseases.

19. A use according to claim 18 wherein said toxic or infective CNS disease is encephalitis or meningitis.

20. A use according to claim 16 wherein the cardiovascular disorder is thrombosis.

21. A use according to claim 16 wherein the gastrointestinal disorder is dysfunction of gastrointestinal motility

22. A use according to claim 16 wherein said medicament is for the treatment of obesity.

23. A method of treatment of any of the disorders set out in claims 16 to 22 comprising administering to a patient in need of such treatment an effective dose of a compound of formula (I) as set out in any one of claims 1 to 13.

24. A use or method according to any of claims 16 or 23 wherein said treatment is prophylactic treatment.

25. A method of preparing a compound of formula (I) as set out in any one of claims 1 to 13.

26. A pharmaceutical composition comprising a compound of formula (I) as set out in any one of claims 1 to 13 in combination with a pharmaceutically acceptable carrier or excipient.

27. A method of making a composition according to claim 26 comprising combining a compound of formula (I) as set out in any one of claims 1 to 13 with a pharmaceutically acceptable carrier or excipient.

INTERNATIONAL SEARCH REPORT

Interr nal Application No
PCT/GB 99/02879

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D209/08 A61K31/40 C07D401/04 C07D409/04 C07D409/12 C07D401/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 95 32967 A (SMITHKLINE BEECHAM PLC) 7 December 1995 (1995-12-07) * page 8-9: description 3 and 4 *	13
X	EP 0 780 118 A (L' OREAL) 25 June 1997 (1997-06-25) page 18, line 10	13
A	EP 0 655 440 A (F. HOFFMANN-LA ROCHE AG) 31 May 1995 (1995-05-31) cited in the application * page 9, 12; claim 1 *	1,16

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
26 November 1999	08/12/1999

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31–70) 340–2040, Tx. 31 651 epo nl, Fax: (+31–70) 340–3016	Van Bijlen, H

Form PCT/ISA/210 (second sheet) (July 1992)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB 99/02879

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 23-24
because they relate to subject matter not required to be searched by this Authority, namely:

Remark: Although claims 23-24 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Inter nal Application No
PCT/GB 99/02879

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9532967 A	07-12-1995	AU 2565595 A	21-12-1995
		EP 0763034 A	19-03-1997
		JP 10500960 T	27-01-1998
		US 5756496 A	26-05-1998
		ZA 9504330 A	17-05-1996
EP 780118 A	25-06-1997	FR 2742047 A	13-06-1997
		DE 69600133 D	05-02-1998
		DE 69600133 T	16-04-1998
		ES 2113769 T	01-05-1998
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